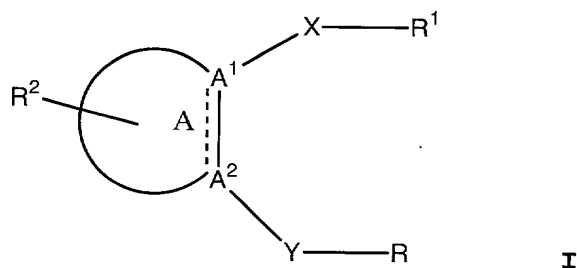


WHAT IS CLAIMED IS:

1. A compound of formula I

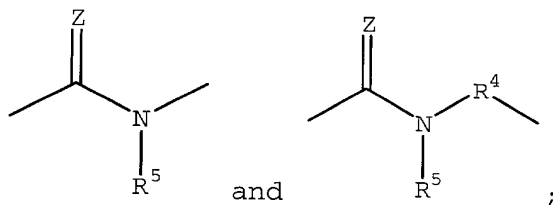


wherein each of A¹ and A² is independently C, or N;

wherein ring A is selected from

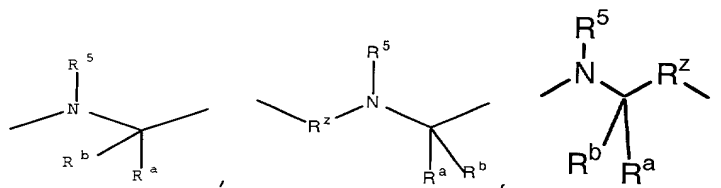
- 10
- a) 5- or 6-membered partially saturated heterocyclyl,
 - b) 5- or 6-membered heteroaryl,
 - c) 9- or 10-membered fused partially saturated heterocyclyl,
 - d) 9-, 10- or 11-membered fused heteroaryl;
 - e) naphthyl, and
 - 15 f) 4-, 5- or 6- membered cycloalkenyl;

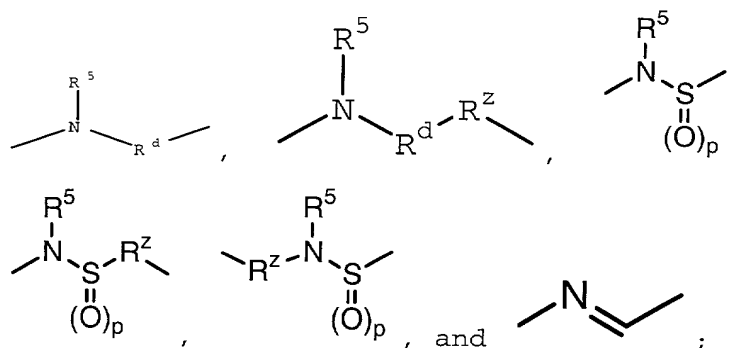
wherein X is selected from



wherein Z is oxygen or sulfur;

wherein Y is selected from





wherein p is 0 to 2,

wherein R^a and R^b are independently selected from H, halo,

5 cyano, $-NHR^6$ and C_{1-4} -alkyl substituted with R^2 , or wherein R^a and R^b together form C_3 - C_6 cycloalkyl;

wherein R^z is selected from C_1 - C_4 alkylene, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;

10 wherein R^d is cycloalkyl;

wherein R is selected from

a) substituted or unsubstituted 5-6 membered heterocyclyl, and

b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

15 wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2 , cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^1 is selected from

a) substituted or unsubstituted 6-10 membered aryl,

25 b) substituted or unsubstituted 5-6 membered heterocyclyl,

c) substituted or unsubstituted 9-11 membered fused heterocyclyl,

d) cycloalkyl, and

e) cycloalkenyl,

wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$,
5 $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$
alkylenyl $R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-$
 $NR^3C(O)R^3$, optionally substituted cycloalkyl,
optionally substituted 5-6 membered heterocyclyl,
optionally substituted phenyl, lower alkyl
10 substituted with R^2 , cyano, nitro, lower alkenyl and
lower alkynyl;

wherein R^2 is one or more substituents independently selected
from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-$
15 NR^3R^3 , $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl,
optionally substituted phenylalkylenyl, optionally
substituted 5-6 membered heterocyclyl, optionally
substituted heteroarylalkylenyl, optionally substituted
phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower
20 carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower
aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;
wherein R^3 is independently selected from H, lower alkyl,
phenyl, 5-6 membered heterocyclyl, C_3-C_6 cycloalkyl, and
lower haloalkyl;

wherein R^4 is independently selected from C_2-C_4 alkylenyl,
25 C_2-C_4 alkenylenyl and C_2-C_4 alkynylenyl, where one of the
 CH_2 groups may be substituted with an oxygen atom or an $-$
 $NH-$;

wherein R^5 is selected from H, lower alkyl, phenyl and lower
aralkyl; and

30 wherein R^6 is selected from H or C_{1-6} -alkyl;

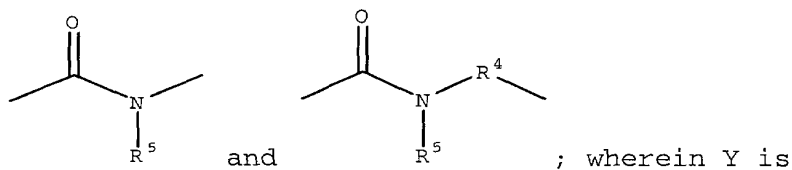
wherein R^{14} is selected from H, phenyl, 5-6 membered
heterocyclyl and C_3-C_6 cycloalkyl;

and pharmaceutically acceptable salts thereof;

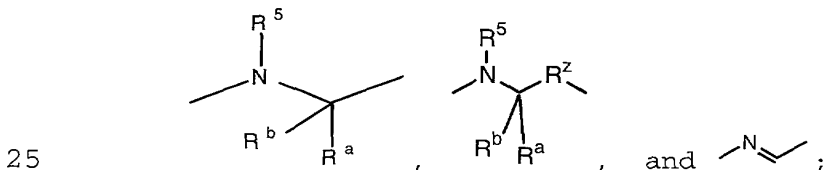
provided A is not naphthyl when X is -C(O)NH- and when R¹ is phenyl when Y is -NHCH₂- and when R is 4-pyridyl; further provided A is not pyridyl when X is -C(O)NH- and when Y is -NHCH₂- and when R is 4-pyridylpiperidin-4-yl, 1-tertbutylpiperidin-4-yl, 1-isopropylpiperidin-4-yl or 1-cycloalkylpiperidin-4-yl; further provided A is not pyridyl when X is -C(O)NH- and when R¹ is 4-[3-(3-pyridyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl when Y is -NHCH₂- and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH₂-.

2. Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from 5- or 6- membered partially saturated heterocyclyl.

3. Compound of Claim 2, and pharmaceutically acceptable salts thereof, wherein A is selected from dihydropyran, dihydrothienyl, dihydrofuryl, oxo-dihydrofuryl, pyrrolinyl, dihydrothiazolyl, dihydro-oxazolyl, dihydro-isothiazolyl, dihydro-isoxazolyl, imidazolynyl and pyrazolynyl; wherein X is selected from



selected from



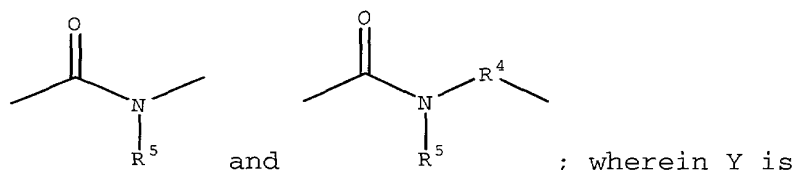
wherein R^a and R^b are independently selected from H, halo, cyano, and C₁₋₂-alkyl substituted with R², or wherein R^a and R^b together form C₃-C₄ cycloalkyl; wherein R^z is C₁-C₂

alkylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R¹ is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH(C₁-C₂ alkylenylR³), -(C₁-C₂ alkylenyl)NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₂-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C₁-C₂-alkylenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl; wherein R³ is selected from H, C₁₋₂-alkyl, phenyl, C₃-C₆ cycloalkyl and C₁₋₂-haloalkyl; wherein R⁴ is C₂₋₃-alkylenyl, where one of the CH₂

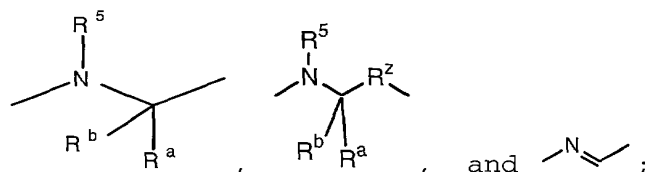
groups may be substituted with an oxygen atom or an -NH-;
and wherein R⁵ is selected from H and C₁₋₂-alkyl.

4. Compound of Claim 1, and pharmaceutically
5 acceptable salts thereof, wherein A is selected from 5- or
6- membered heteroaryl.

5. Compound of Claim 4, and pharmaceutically
acceptable salts thereof, wherein A is selected from
10 pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl,
thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl,
pyrazolyl, isoxazolyl, triazolyl and isothiazolyl; wherein X
is selected from



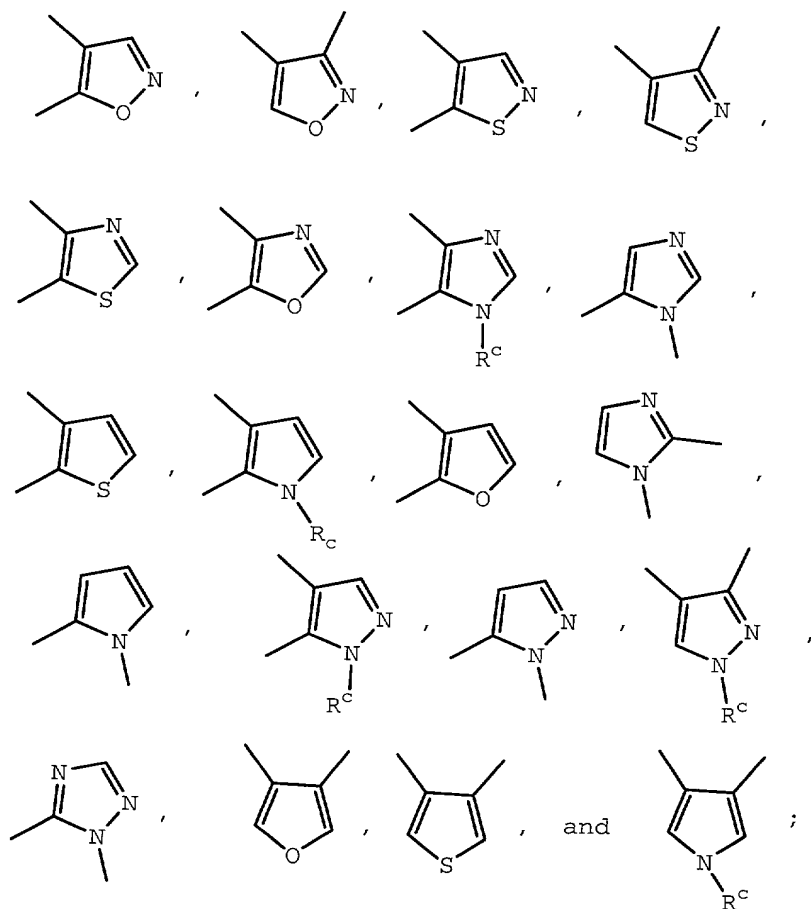
15 selected from



wherein R^a and R^b are independently selected from H, halo,
cyano, and C₁₋₂-alkyl substituted with R², or wherein R^a and
R^b together form C₃-C₄ cycloalkyl; wherein R² is C₁-C₂
20 alkylene, where one of the CH₂ groups may be substituted
with an oxygen atom or an -NH-; wherein R is selected from
substituted or unsubstituted 5-6 membered heteroaryl
comprising one or more nitrogen atoms, and substituted or
unsubstituted 9-10 membered fused heteroaryl comprising one
25 or more nitrogen atoms; wherein substituted R is substituted
with one or more substituents independently selected from
halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -
NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-

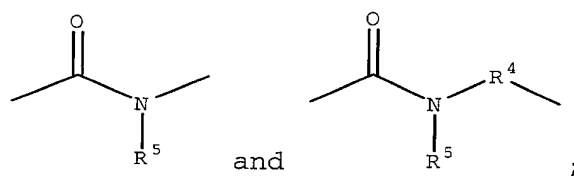
- 6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R¹ is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and
- 5 tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -SO₂R³, -CO₂R³, -CONR³R³, -
- 10 COR³, -NR³R³, -NH(C₁-C₂ alkylenylR³), -(C₁-C₂ alkylenyl)NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₂-alkylenyl, optionally substituted 5-6
- 15 membered heterocyclyl-C₁₋₂-alkylenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-
- 20 6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl; wherein R³ is selected from H, C₁₋₂-alkyl, phenyl, C₃-C₆ cycloalkyl and C₁₋₂-haloalkyl; wherein R⁴ is C₂₋₃-alkylenyl, where one of the CH₂
- 25 groups may be substituted with an oxygen atom or an -NH-; and wherein R⁵ is selected from H and C₁₋₂-alkyl.

6. Compound of Claim 1 wherein A is selected from

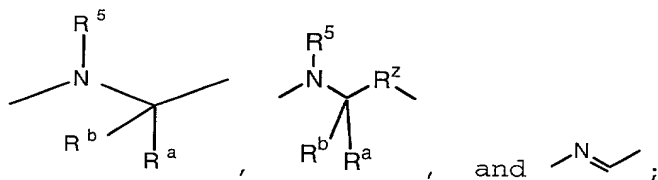


wherein R^c is selected from H, methyl and optionally

5 substituted phenyl; wherein X is selected from



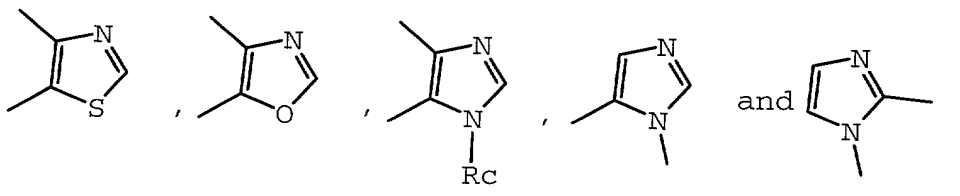
wherein Y is selected from



wherein R^a and R^b are independently selected from H, halo,
 10 cyano, and C_{1-2} -alkyl substituted with R^2 , or wherein R^a and
 R^b together form C_3-C_4 cycloalkyl; wherein R^z is C_1-C_2
 alkylenyl, where one of the CH_2 groups may be substituted

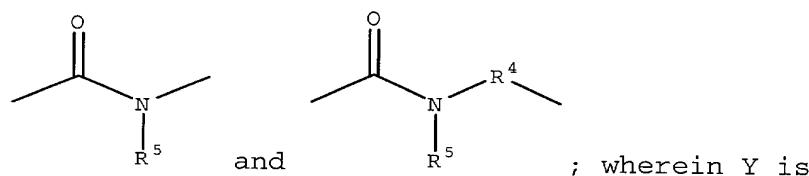
with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R¹ is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH(C₁-C₂ alkylenylR³), -(C₁-C₂ alkylenyl)NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₂-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C₁₋₂-alkylenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl; wherein R³ is selected from H, C₁₋₂-alkyl, phenyl, C₃-C₆ cycloalkyl and C₁₋₂-haloalkyl; wherein R⁴ is C₂₋₃-alkylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-; and wherein R⁵ is selected from H and C₁₋₂-alkyl.

7. Compound of Claim 6 wherein A is selected from

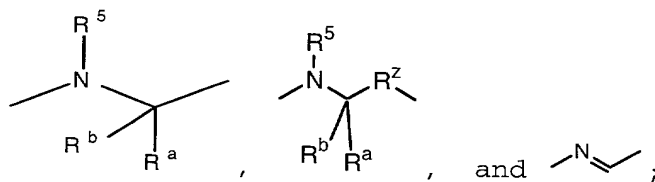


wherein R^c is selected from H, methyl and optionally

5 substituted phenyl; wherein X is selected from



selected from



wherein R^a and R^b are independently selected from H, halo,

10 and C_{1-2} -alkyl; wherein R^z is C_1 - C_2 alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted

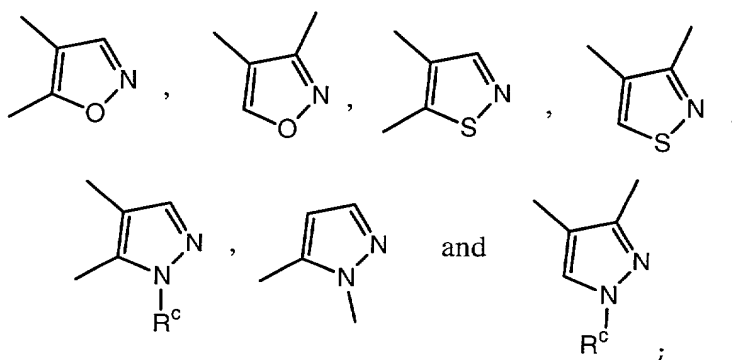
15 R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl,

20 nitro and C_{1-2} -haloalkyl; wherein R^1 is a substituted or unsubstituted substituent selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl,

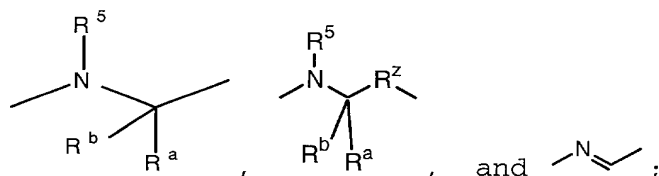
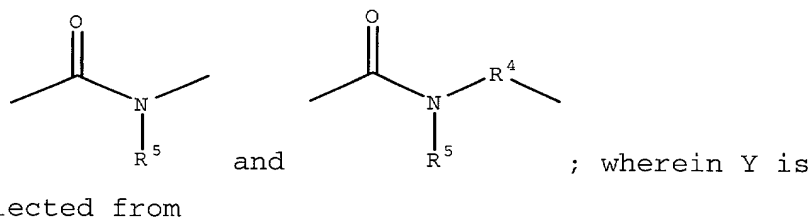
25 thiazolyl, thiadiazolyl, tetrahydroquinolinyl,

benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2-alkylenyl-R^3)$, $-(C_1-C_2-alkylenyl)NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-2} -alkylenyl, optionally substituted 5-6 membered heterocyclyl- C_{1-2} -alkylenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, C_{1-3} -carboxyalkyl, nitro, C_{2-3} -alkenyl, C_{2-3} -alkynyl and C_{1-2} -haloalkyl; wherein R^3 is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R^4 is C_{2-3} -alkylenyl; and wherein R^5 is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

8. Compound of Claim 6 wherein A is selected from



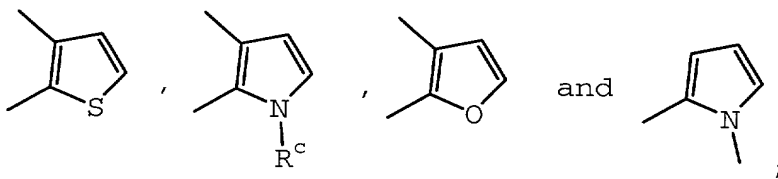
wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



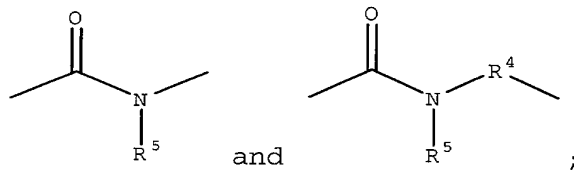
- wherein R^a and R^b are independently selected from H, halo,
 5 and C_{1-2} -alkyl; wherein R^z is C_1 - C_2 alkylene; wherein R is
 selected from substituted or unsubstituted 4-pyridyl, 4-
 pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-
 pyridazinyl, indazolyl, quinolinyl, isoquinolinyl,
 quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted
 10 R is substituted with one or more substituents independently
 selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-$
 NR^3R^3 , $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl,
 optionally substituted 5-6 membered heterocyclyl, optionally
 substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl,
 15 nitro and C_{1-2} -haloalkyl; wherein R^1 is a substituted or
 unsubstituted substituent selected from phenyl, indenyl,
 thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl,
 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl,
 pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl,
 20 thiazolyl, thiadiazolyl, tetrahydroquinolinyl,
 benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein
 substituted R^1 is substituted with one or more substituents
 independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-$
 $CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_{1-2}$ -alkylene- R^3), $-(C_{1-2}$ -
 25 alkylene) NR^3R^3 , $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally
 substituted cycloalkyl, optionally substituted 5-6 membered
 heterocyclyl, optionally substituted phenyl, optionally
 substituted phenyl- C_{1-2} -alkylene, optionally substituted 5-6

membered heterocyclyl-C₁-C₂-alkylenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl; wherein R³ is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R⁴ is C₂₋₃-alkylenyl; and wherein R⁵ is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

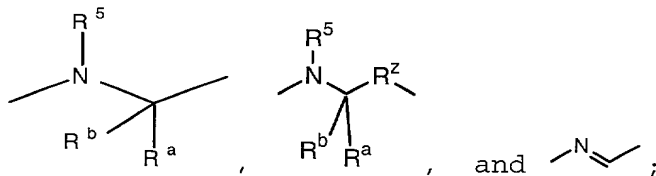
9. Compound of Claim 6 wherein A is selected from



wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



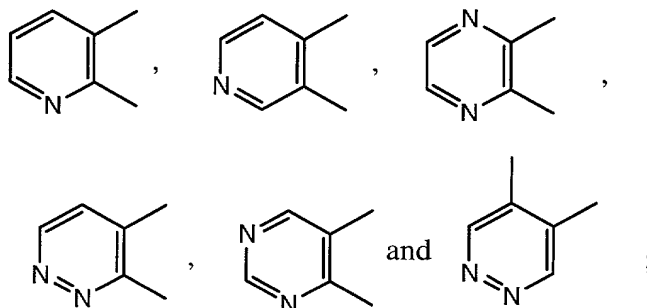
wherein Y is selected from



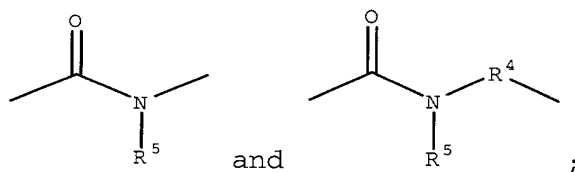
wherein R^a and R^b are independently selected from H, halo, and C₁₋₂-alkyl; wherein R² is C₁-C₂ alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-

pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R¹ is a substituted or unsubstituted substituent selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolinyl, benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH(C₁-C₂-alkylenyl-R³), -(C₁-C₂-alkylenyl)NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₂-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C₁₋₂-alkylenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl; wherein R³ is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R⁴ is C₂₋₃-alkylenyl; and wherein R⁵ is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

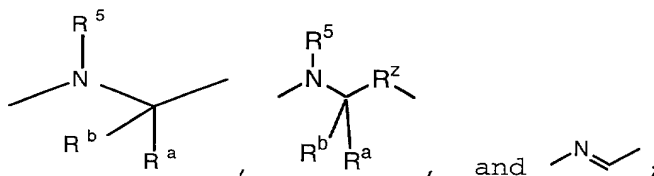
10. Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from



5 wherein X is selected from



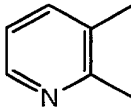
wherein Y is selected from

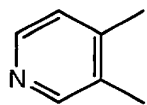


- wherein R^a and R^b are independently selected from H, halo, and C_{1-2} -alkyl; wherein R^z is C_1 - C_2 alkylene; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted
- 15 R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl,
- 20 nitro and C_{1-2} -haloalkyl; wherein R^1 is a substituted or unsubstituted substituent group selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl,

tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolinyl, benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2\text{-alkylenyl}-R^3)$, $-(C_1-C_2\text{-alkylenyl})NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-2} -alkylenyl, optionally substituted 5-6 membered heterocyclyl- C_{1-2} -alkylenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, C_{1-3} -carboxyalkyl, nitro, C_{2-3} -alkenyl, C_{2-3} -alkynyl and C_{1-2} -haloalkyl; wherein R^3 is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R^4 is C_{2-3} -alkylenyl; and wherein R^5 is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

11. Compound of Claim 10, and pharmaceutically

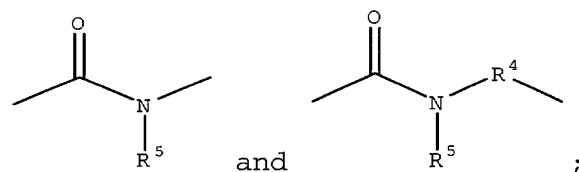
acceptable salts thereof, wherein A is , or



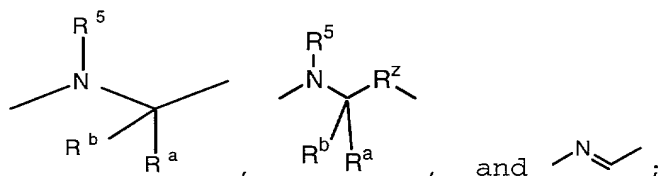
; wherein X is $-C(O)-NH-$; wherein Y is $-NH-CH_2-$; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, 4-isoquinolyl, 5-isoquinolyl, 6-isoquinolyl, 5-indazolyl, 4-pyrimidinyl and

4-pyridazinyl; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R¹ is selected from substituted or unsubstituted phenyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, isoquinolyl, quinolyl, tetrahydroquinolyl, benzodioxanyl, and quinazolinyl; wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₂-alkylenyl, morpholinylmethyl, methylpiperidinylmethyl, methylpiperazinylmethyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl; wherein R³ is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R⁴ is C₂₋₃-alkylenyl; and wherein R⁵ is from H, methyl or ethyl.

12. Compound of Claim 1 wherein A is 9- or 10-membered fused partially saturated heterocyclyl or 9- or 10-membered fused heteroaryl; wherein X is selected from



wherein Y is selected from



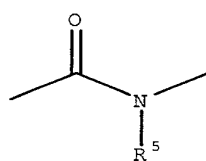
- wherein R^a and R^b are independently selected from H, halo, cyano, and C_{1-2} -alkyl substituted with R^2 , or wherein R^a and R^b together form C_3-C_4 cycloalkyl; wherein R^z is C_1-C_2 alkylene, where one of the CH_2 groups may be substituted with an oxygen atom or an $-NH-$; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_{1-2} \text{ alkylene}R^3)$, $-(C_{1-2} \text{ alkylene})NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally

substituted phenyl-C₁₋₂-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C₁₋₂-alkylenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, nitro and C₁₋₂-haloalkyl; wherein R² is one or more substituents independently selected from H, halo, -OR³,
 5 oxo, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl; wherein R³ is
 10 selected from H, C₁₋₂-alkyl, phenyl, C₃-C₆ cycloalkyl and C₁₋₂-haloalkyl; wherein R⁴ is C₂₋₃-alkylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-; and wherein R⁵ is selected from H and C₁₋₂-alkyl; and pharmaceutically acceptable salts thereof.

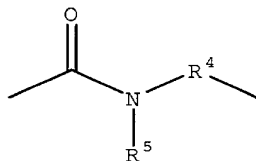
15

13. Compound of Claim 12 wherein A is selected from benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, naphthpyridinyl, tetrahydroquinolyl,
 20 quinoxalinyl and quinazolinyl; and pharmaceutically acceptable salts thereof.

14. Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is 5- or 6-membered
 25 cycloalkenyl; wherein X is selected from

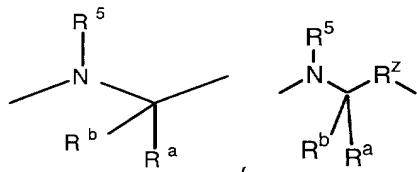


and



; wherein Y is

selected from



and $\text{N}=\text{CH}_2$; wherein R^a and R^b are independently selected from H, halo, cyano, and C_{1-2} -alkyl substituted with R^2 , or wherein R^a and R^b together form $\text{C}_3\text{-C}_4$ cycloalkyl; wherein R^z is $\text{C}_1\text{-C}_2$ alkylenyl, where one of the

5 CH_2 groups may be substituted with an oxygen atom or an -NH- ; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein

10 substituted R is substituted with one or more substituents independently selected from halo, -OR^3 , -SR^3 , $\text{-CO}_2\text{R}^3$, $\text{-CONR}^3\text{R}^3$, -COR^3 , $\text{-NR}^3\text{R}^3$, $\text{-SO}_2\text{NR}^3\text{R}^3$, $\text{-NR}^3\text{C(O)OR}^3$, $\text{-NR}^3\text{C(O)R}^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2} -alkyl,

15 cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10

20 membered fused heteroaryl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, -OR^3 , -SR^3 , $\text{-SO}_2\text{R}^3$, $\text{-CO}_2\text{R}^3$, $\text{-CONR}^3\text{R}^3$, -COR^3 , $\text{-NR}^3\text{R}^3$, $\text{-NH(C}_1\text{-C}_2\text{ alkylenylR}^3\text{)}$, $\text{-(C}_1\text{-C}_2\text{ alkylenyl)NR}^3\text{R}^3$, $\text{-SO}_2\text{NR}^3\text{R}^3$, $\text{-NR}^3\text{C(O)OR}^3$, $\text{-NR}^3\text{C(O)R}^3$, optionally substituted

25 cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-2} -alkylenyl, optionally substituted 5-6 membered heterocyclyl- $\text{C}_1\text{-C}_2$ -alkylenyl, C_{1-2} -alkyl, cyano, C_{1-2} -hydroxyalkyl, nitro and C_{1-2} -haloalkyl; wherein R^2 is one or

30 more substituents independently selected from H, halo, -OR^3 , oxo, -SR^3 , $\text{-CO}_2\text{R}^3$, $\text{-CONR}^3\text{R}^3$, -COR^3 , $\text{-NR}^3\text{R}^3$, $\text{-SO}_2\text{NR}^3\text{R}^3$, -

NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₂-alkyl, cyano, C₁₋₂-hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl; wherein R³ is selected from H, C₁₋₂-alkyl, phenyl, C₃₋₆ cycloalkyl and C₁₋₂-haloalkyl; wherein R⁴ is C₂₋₃-alkylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-; and wherein R⁵ is selected from H and C₁₋₂-alkyl.

15 15. Compound of Claim 14 wherein A is cyclopentadienyl or cyclopentenyl; and pharmaceutically acceptable salts thereof.

16. Compound of Claim 1 and pharmaceutically acceptable salts thereof selected from

N-(4-chlorophenyl)-3-[(4-pyridinylmethylene)amino]-4-pyridinecarboxamide;

N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;

20 N-phenyl{3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;

N-(4-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3,4-dichlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}-carboxamide;

25 N-(3-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-pyridyl)}carboxamide;

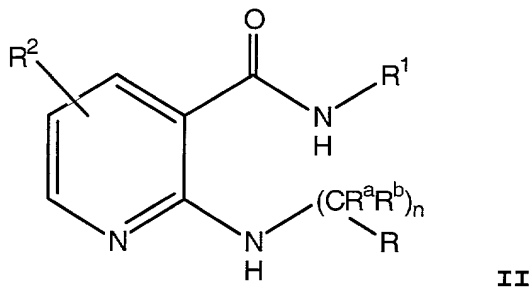
N-(4-chlorophenyl){3-[(6-quinolylmethyl)amino](2-pyridyl)}carboxamide;

30 N-(3,4-dichlorophenyl){2-[(6-quinolylmethyl)amino](3-pyridyl)}-carboxamide;

N-(4-chlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

- N-(3,4-dichlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-(3-fluoro-4-methylphenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
5 N-(3,4-dichlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-(4-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
{6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-N-(3-
10 fluorophenyl)carboxamide;
N-(3-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](4-pyridyl)}carboxamide;
15 N-(3-fluoro-4-methylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-(4-chlorophenyl){2-[(4-quinolylmethyl)amino](3-pyridyl)}carboxamide;
N-(4-chlorophenyl){2-[(5-quinolylmethyl)amino](3-pyridyl)}carboxamide;
20 N-(4-chlorophenyl){2-[(4-pyridylethyl)amino]-5-(3-thienyl)-(3-pyridyl)}carboxamide;
N-(4-chlorophenyl){5-(4-methoxyphenyl)-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide; and
25 N-(4-chlorophenyl){5-bromo-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide.

17. A compound of Claim 1 having Formula II



wherein R^a and R^b are independently selected from H, halo,
C₁₋₄-alkyl and -N(R⁶)₂;

5 wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered
nitrogen-containing heteroaryl, and

10 b) unsubstituted or substituted 9- or 10-membered
fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents
selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-
6-haloalkyl and C₁₋₆-alkoxy;

15 wherein R¹ is selected from unsubstituted or substituted
aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

20 wherein substituted R¹ is substituted with one or more
substituents selected from halo, C₁₋₆-alkyl, optionally
substituted C₃₋₆-cycloalkyl, optionally substituted
phenyl, C₁₋₆-haloalkoxy, optionally substituted
phenyloxy, benzyl, optionally substituted 5-6 membered
heterocyclyl-C₁-C₂-alkylenyl, optionally substituted
heteroaryl, optionally substituted heteroaryloxy, C₁₋₆-
25 haloalkyl, and C₁₋₆-alkoxy;

wherein R² is one or more substituents independently
selected from

H,

halo,

C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
C₁₋₆-haloalkoxy,
5 C₁₋₆-carboxyalkyl,
unsubstituted or substituted aryl and
unsubstituted or substituted 5-6 membered
heteroaryl; and

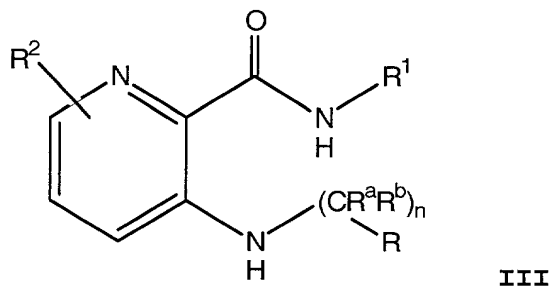
wherein R⁶ is H or C₁₋₆-alkyl;
10 and pharmaceutically acceptable isomers and salts thereof.

18. Compound of Claim 17 wherein R^a and R^b are H;
wherein n is 1-2;
wherein R is selected from 4-pyridyl, pyrimidinyl,
15 triazolyl, pyridazinyl, indolyl, isoindolyl,
indazolyl, quinolyl, isoquinolyl, naphthyridinyl and
quinoxalinyl, where R is unsubstituted or substituted
with one or more substituents selected
from chloro, fluoro, amino, hydroxy, methyl, ethyl,
20 propyl, trifluoromethyl, methoxy and ethoxy;
wherein R¹ is selected from phenyl, tetrahydronaphthyl,
naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,
pyridazinyl, indolyl, isoindolyl, naphthyridinyl,
quinoxalinyl, tetrahydroquinolinyl, indazolyl,
25 benzothienyl, benzofuryl, benzimidazolyl,
benzoxazolyl, or benzthiazolyl, where R¹ is
unsubstituted or substituted with one or more
substituents selected
from chloro, fluoro, amino, hydroxy, cyclohexyl,
30 phenylmethyl, morpholinylmethyl,
methylpiperidinylmethyl, methylpiperazinylmethyl,
ethyl, propyl, trifluoromethyl, phenyloxy,
methoxy and ethoxy; and

wherein R^2 is one or more substituents independently
selected from H, chloro, fluoro, bromo, amino,
hydroxy, methyl, ethyl, propyl, trifluoromethyl,
methoxy, ethoxy, trifluoromethoxy, carboxymethyl,
5 unsubstituted or substituted phenyl and unsubstituted
or substituted heteroaryl selected
from thienyl, furanyl, pyridyl, imidazolyl, and
pyrazolyl;
and pharmaceutically acceptable salts thereof.

10

19. A compound of Claim 1 having Formula III



15 wherein R^a and R^b are independently selected from H, halo,
 C_{1-4} -alkyl and $-N(R^6)_2$;
wherein n is 1-2;

wherein R is selected from

- 20 a) unsubstituted or substituted 5- or 6-membered
nitrogen-containing heteroaryl, and
b) unsubstituted or substituted 9- or 10-membered
fused nitrogen-containing heteroaryl,
where R is substituted with one or more substituents
selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -
25 haloalkyl and C_{1-6} -alkoxy;
wherein R^1 is selected from unsubstituted or substituted
aryl,
5-6 membered heteroaryl and
9-10 membered fused heteroaryl,

wherein substituted R¹ is substituted with one or more
substituents selected from halo, C₁₋₆-alkyl, optionally
substituted C₃₋₆-cycloalkyl, optionally substituted
phenyl, optionally substituted 5-6 membered
5 heterocycl-yl-C₁-C₂-alkylenyl, C₁₋₆-haloalkoxy,
optionally substituted phenyloxy, benzyl, optionally
substituted heteroaryl, optionally substituted
heteroaryloxy, C₁₋₆-haloalkyl, and C₁₋₆-alkoxy;

wherein R² is one or more substituents independently
10 selected from

H,
halo,
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
15 C₁₋₆-alkoxy,
C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
unsubstituted or substituted aryl and
unsubstituted or substituted 5-6 membered
20 heteroaryl; and

wherein R⁶ is H or C₁₋₆-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

20. Compound of Claim 19 wherein R^a and R^b are H;

25 wherein n is 1-2;

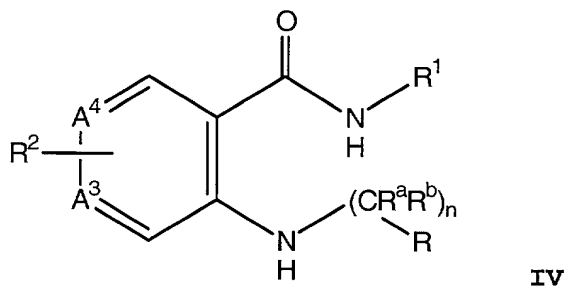
wherein R is selected from 4-pyridyl, pyrimidinyl,
pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,
isoquinolyl, naphthyridinyl and quinoxaliny, where R
is unsubstituted or substituted with one or more
30 substituents selected

from chloro, fluoro, amino, hydroxy, methyl, ethyl,
propyl, trifluoromethyl, methoxy and ethoxy;

wherein R¹ is selected from phenyl, tetrahydronaphthyl,
naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,

- pyridazinyl, indolyl, isoindolyl, naphthyridinyl,
quinoxalinyl, tetrahydroquinolyl, indazolyl,
benzothienyl, benzofuryl, benzimidazolyl,
benzoxazolyl, or benzthiazolyl, where R¹ is
5 unsubstituted or substituted with one or more
substituents selected
from chloro, fluoro, amino, hydroxy, cyclohexyl,
phenylmethyl, morpholinylmethyl,
methylpiperidinylmethyl, methylpiperazinylmethyl,
10 ethyl, propyl, trifluoromethyl, phenyloxy,
methoxy and ethoxy; and
wherein R² is one or more substituents independently
selected from H, chloro, fluoro, bromo, amino,
hydroxy, methyl, ethyl, propyl, trifluoromethyl,
15 methoxy, ethoxy, trifluoromethoxy, carboxymethyl,
unsubstituted or substituted phenyl and unsubstituted
or substituted heteroaryl selected
from thienyl, furanyl, pyridyl, imidazolyl, and
pyrazolyl;
20 and pharmaceutically acceptable salts thereof.

21. A compound of Claim 1 having Formula IV



- 25 wherein A³ is selected from CR² and N;
wherein A⁴ is selected from CR² and N; provided one of A³ and
A⁴ is not CR²;

wherein R^a and R^b are independently selected from H, halo,
C₁₋₄-alkyl and -N(R⁶)₂;

wherein n is 1-2;

wherein R is selected from

5 a) unsubstituted or substituted 5- or 6-membered
 nitrogen-containing heteroaryl, and

 b) unsubstituted or substituted 9- or 10-membered
 fused nitrogen-containing heteroaryl,

 where R is substituted with one or more substituents
10 selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-
 haloalkyl and C₁₋₆-alkoxy;

 wherein R¹ is selected from unsubstituted or substituted
 aryl,

 5-6 membered heteroaryl and
15 9-10 membered fused heteroaryl,

 wherein substituted R¹ is substituted with one or more
 substituents selected from halo, C₁₋₆-alkyl, optionally
 substituted C₃₋₆-cycloalkyl, optionally substituted
 phenyl, optionally substituted 5-6 membered
20 heterocyclyl-C₁C₂-alkylenyl, C₁₋₆-haloalkoxy,
 optionally substituted phenyloxy, benzyl, optionally
 substituted heteroaryl, optionally substituted
 heteroaryloxy, C₁₋₆-haloalkyl, and C₁₋₆-alkoxy;

 wherein R² is one or more substituents independently
25 selected from

 H,
 halo,
 C₁₋₆-alkyl,
 C₁₋₆-haloalkyl,
30 C₁₋₆-alkoxy,
 C₁₋₆-haloalkoxy,
 C₁₋₆-carboxyalkyl,
 unsubstituted or substituted aryl and

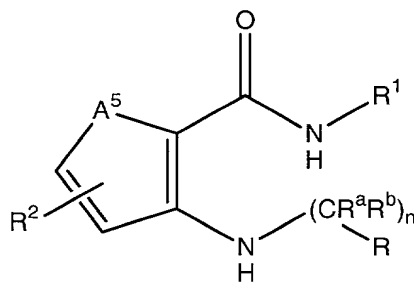
unsubstituted or substituted 5-6 membered
heteroaryl; and
wherein R⁶ is H or C₁₋₆-alkyl;
and pharmaceutically acceptable isomers and salts thereof.

5

22. Compound of Claim 21 wherein R^a and R^b are H;
wherein n is 1-2;
wherein R is selected from 4-pyridyl, pyrimidinyl,
pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,
10 isoquinolyl, naphthyridinyl and quinoxaliny, where R
is unsubstituted or substituted with one or more
substituents selected
from chloro, fluoro, amino, hydroxy, methyl, ethyl,
propyl, trifluoromethyl, methoxy and ethoxy;
15 wherein R¹ is selected from phenyl, tetrahydronaphthyl,
naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,
pyridazinyl, indolyl, isoindolyl, naphthyridinyl,
quinoxaliny, tetrahydroquinoliny, indazolyl,
benzothienyl, benzofuryl, benzimidazolyl,
20 benzoxazolyl, or benzthiazolyl, where R¹ is
unsubstituted or substituted with one or more
substituents selected
from chloro, fluoro, amino, hydroxy, cyclohexyl,
phenylmethyl, morpholinylmethyl,
25 methylpiperidinylmethyl, methylpiperazinylmethyl,
ethyl, propyl, trifluoromethyl, phenyloxy,
methoxy and ethoxy; and
wherein R² is one or more substituents independently
selected from H, chloro, fluoro, bromo, amino,
30 hydroxy, methyl, ethyl, propyl, trifluoromethyl,
methoxy, ethoxy, trifluoromethoxy, carboxymethyl,
unsubstituted or substituted phenyl and unsubstituted
or substituted heteroaryl selected

from thienyl, furanyl, pyridyl, imidazolyl, and
pyrazolyl;
and pharmaceutically acceptable salts thereof.

5 23. A compound of Claim 1 having the formula V



wherein A⁵ is selected from S, O and NR⁶;

10 wherein R^a and R^b are independently selected from H, halo,
C₁₋₄-alkyl and -N(R⁶)₂;

wherein n is 1-2;

wherein R is selected from

15 a) unsubstituted or substituted 5- or 6-membered
nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered
fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents
selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋
20 6-haloalkyl and C₁₋₆-alkoxy;

wherein R¹ is selected from unsubstituted or substituted
aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

25 wherein substituted R¹ is substituted with one or more
substituents selected from halo, C₁₋₆-alkyl, optionally
substituted C₃₋₆-cycloalkyl, optionally substituted
phenyl, C₁₋₆-haloalkoxy, optionally substituted
phenyloxy, benzyl, optionally substituted 5-6 membered

heterocyclyl-C₁-C₂-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C₁₋₆-haloalkyl, and C₁₋₆-alkoxy;

wherein R² is one or more substituents independently

5 selected from

H,

halo,

C₁₋₆-alkyl,

C₁₋₆-haloalkyl,

10 C₁₋₆-alkoxy,

C₁₋₆-haloalkoxy,

C₁₋₆-carboxyalkyl,

unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered

15 heteroaryl; and

wherein R⁶ is H or C₁₋₆-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

24. Compound of Claim 23 wherein R^a and R^b are H;

20 wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl,

pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,

isoquinolyl, naphthyridinyl and quinoxalinyl, where R

is unsubstituted or substituted with one or more

25 substituents selected

from chloro, fluoro, amino, hydroxy, methyl, ethyl,

propyl, trifluoromethyl, methoxy and ethoxy;

wherein R¹ is selected from phenyl, tetrahydronaphthyl,

naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,

30 pyridazinyl, indolyl, isoindolyl, naphthyridinyl,

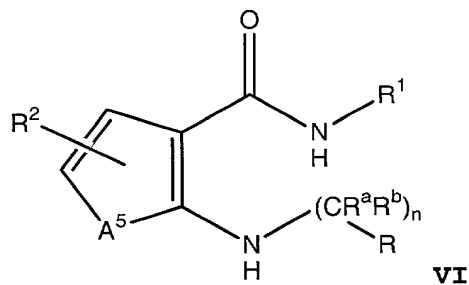
quinoxalinyl, tetrahydroquinolinyl, indazolyl,

benzothienyl, benzofuryl, benzimidazolyl,

benzoxazolyl, or benzthiazolyl, where R¹ is

unsubstituted or substituted with one or more
substituents selected
from chloro, fluoro, amino, hydroxy, cyclohexyl,
phenylmethyl, morpholinylmethyl,
5 methylpiperidinylmethyl, methylpiperazinylmethyl,
ethyl, propyl, trifluoromethyl, phenyloxy,
methoxy and ethoxy; and
wherein R^2 is one or more substituents independently
selected from H, chloro, fluoro, bromo, amino,
10 hydroxy, methyl, ethyl, propyl, trifluoromethyl,
methoxy, ethoxy, trifluoromethoxy, carboxymethyl,
unsubstituted or substituted phenyl and unsubstituted
or substituted heteroaryl selected
from thienyl, furanyl, pyridyl, imidazolyl, and
15 pyrazolyl;
and pharmaceutically acceptable salts thereof.

25. A compound of Claim 1 having the formula



wherein A^5 is selected from S, O and NR^6 ;
wherein R^a and R^b are independently selected from H, halo,
 C_{1-4} -alkyl and $-N(R^6)_2$;
25 wherein n is 1-2;
wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered
nitrogen-containing heteroaryl, and

- b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl, where R is substituted with one or more substituents selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-haloalkyl and C₁₋₆-alkoxy;
- 5 wherein R¹ is selected from unsubstituted or substituted aryl, 5-6 membered heteroaryl and 9-10 membered fused heteroaryl,
- 10 wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, C₁₋₆-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted 5-6 membered
- 15 heterocyclyl-C₁-C₂-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C₁₋₆-haloalkyl, and C₁₋₆-alkoxy;
- wherein R² is one or more substituents independently selected from
- 20 H,
halo,
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
- 25 C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
unsubstituted or substituted aryl and
unsubstituted or substituted 5-6 membered heteroaryl; and
- 30 wherein R⁶ is H or C₁₋₆-alkyl;
and pharmaceutically acceptable isomers and salts thereof.

26. Compound of Claim 25 wherein R^a and R^b are H;
wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl,
pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,
isoquinolyl, naphthyridinyl and quinoxalyl, where R
is unsubstituted or substituted with one or more
5 substituents selected
from chloro, fluoro, amino, hydroxy, methyl, ethyl,
propyl, trifluoromethyl, methoxy and ethoxy;

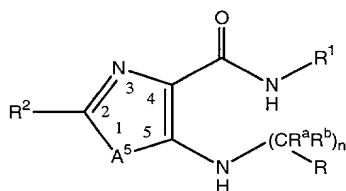
wherein R¹ is selected from phenyl, tetrahydronaphthyl,
naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,
10 pyridazinyl, indolyl, isoindolyl, naphthyridinyl,
quinoxalyl, tetrahydroquinolyl, indazolyl,
benzothienyl, benzofuryl, benzimidazolyl,
benzoxazolyl, or benzthiazolyl, where R¹ is
unsubstituted or substituted with one or more
15 substituents selected

from chloro, fluoro, amino, hydroxy, cyclohexyl,
phenylmethyl, morpholinylmethyl,
methylpiperidinylmethyl, methylpiperazinylmethyl,
ethyl, propyl, trifluoromethyl, phenyloxy,
20 methoxy and ethoxy; and

wherein R² is one or more substituents independently
selected from H, chloro, fluoro, bromo, amino,
hydroxy, methyl, ethyl, propyl, trifluoromethyl,
methoxy, ethoxy, trifluoromethoxy, carboxymethyl,
25 unsubstituted or substituted phenyl and unsubstituted
or substituted heteroaryl selected
from thienyl, furanyl, pyridyl, imidazolyl, and
pyrazolyl;

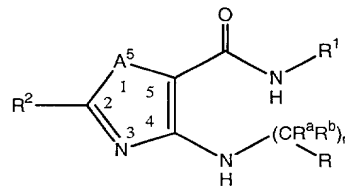
and pharmaceutically acceptable salts thereof.

27. A compound of Claim 1 having the formula



VIIa

and



VIIb

wherein A⁵ is selected from S, O and NR⁶;

wherein R^a and R^b are independently selected from H, halo,

5 C₁₋₄-alkyl and -N(R⁶)₂;

wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered
nitrogen-containing heteroaryl, and

10 b) unsubstituted or substituted 9- or 10-membered
fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents
selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-
6-haloalkyl and C₁₋₆-alkoxy;

15 wherein R¹ is selected from unsubstituted or substituted
aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R¹ is substituted with one or more

20 substituents selected from halo, C₁₋₆-alkyl, optionally
substituted C₃₋₆-cycloalkyl, optionally substituted
phenyl, C₁₋₆-haloalkoxy, optionally substituted
phenyloxy, benzyl, optionally substituted 5-6 membered
heterocyclyl-C₁-C₂-alkylenyl, optionally substituted
25 heteroaryl, optionally substituted heteroaryloxy, C₁₋₆-
haloalkyl, and C₁₋₆-alkoxy;

wherein R² is one or more substituents independently
selected from

H,

30 halo,

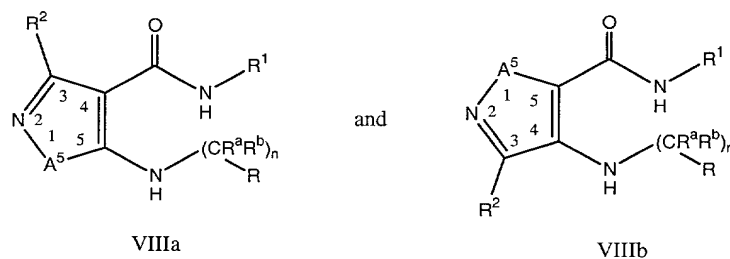
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
C₁₋₆-haloalkoxy,
5 C₁₋₆-carboxyalkyl,
unsubstituted or substituted aryl and
unsubstituted or substituted 5-6 membered
heteroaryl; and
wherein R⁶ is H or C₁₋₆-alkyl;
10 and pharmaceutically acceptable isomers and salts thereof.

28. Compound of Claim 27 wherein R^a and R^b are H;
wherein n is 1-2;
wherein R is selected from 4-pyridyl, pyrimidinyl,
15 pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,
isoquinolyl, naphthyridinyl and quinoxalinyll, where R
is unsubstituted or substituted with one or more
substituents selected
from chloro, fluoro, amino, hydroxy, methyl, ethyl,
20 propyl, trifluoromethyl, methoxy and ethoxy;
wherein R¹ is selected from phenyl, tetrahydronaphthyl,
naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,
pyridazinyl, indolyl, isoindolyl, naphthyridinyl,
quinoxalinyll, tetrahydroquinolinyll, indazolyl,
25 benzothienyl, benzofuryll, benzimidazolyl,
benzoxazolyl, or benzthiazolyl, where R¹ is
unsubstituted or substituted with one or more
substituents selected
from chloro, fluoro, amino, hydroxy, cyclohexyl,
30 phenylmethyl, morpholinylmethyl,
methylpiperidinylmethyl, methylpiperazinylmethyl,
ethyl, propyl, trifluoromethyl, phenyloxy,
methoxy and ethoxy; and

wherein R^2 is one or more substituents independently
 selected from H, chloro, fluoro, bromo, amino,
 hydroxy, methyl, ethyl, propyl, trifluoromethyl,
 methoxy, ethoxy, trifluoromethoxy, carboxymethyl,
 5 unsubstituted or substituted phenyl and unsubstituted
 or substituted heteroaryl selected
 from thienyl, furanyl, pyridyl, imidazolyl, and
 pyrazolyl;
 and pharmaceutically acceptable salts thereof.

10

29. Compound of Claim 1 of the formulas



- 15 wherein A^5 is selected from S, O and NR^6 ;
 wherein R^a and R^b are independently selected from H, halo,
 C_{1-4} -alkyl and $-N(R^6)_2$;
 wherein n is 1-2;
 wherein R is selected from
 20 a) unsubstituted or substituted 5- or 6-membered
 nitrogen-containing heteroaryl, and
 b) unsubstituted or substituted 9- or 10-membered
 fused nitrogen-containing heteroaryl,
 where R is substituted with one or more substituents
 25 selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -
 haloalkyl and C_{1-6} -alkoxy;
 wherein R^1 is selected from unsubstituted or substituted
 aryl,
 5-6 membered heteroaryl and
 30 9-10 membered fused heteroaryl,

wherein substituted R¹ is substituted with one or more
substituents selected from halo, C₁₋₆-alkyl, optionally
substituted C₃₋₆-cycloalkyl, optionally substituted
phenyl, C₁₋₆-haloalkoxy, optionally substituted
5 phenyloxy, benzyl, optionally substituted 5-6 membered
heterocyclyl-C₁-C₂-alkylenyl, optionally substituted
heteroaryl, optionally substituted heteroaryloxy, C₁₋₆-
haloalkyl, and C₁₋₆-alkoxy;

wherein R² is one or more substituents independently
10 selected from

H,
halo,
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
15 C₁₋₆-alkoxy,
C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
unsubstituted or substituted aryl and
unsubstituted or substituted 5-6 membered
20 heteroaryl; and

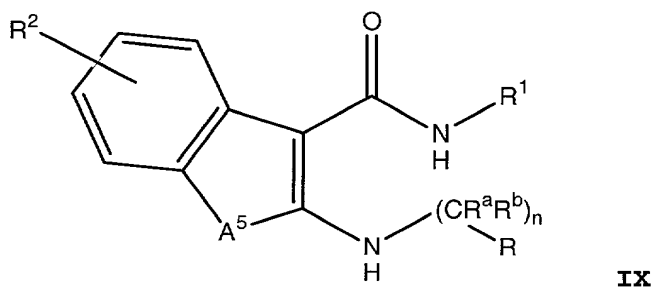
wherein R⁶ is H or C₁₋₆-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

30. Compound of Claim 29 wherein R^a and R^b are H;
25 wherein n is 1-2;
wherein R is selected from 4-pyridyl, pyrimidinyl,
pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,
isoquinolyl, naphthyridinyl and quinoxalinyl, where R
is unsubstituted or substituted with one or more
30 substituents selected
from chloro, fluoro, amino, hydroxy, methyl, ethyl,
propyl, trifluoromethyl, methoxy and ethoxy;
wherein R¹ is selected from phenyl, tetrahydronaphthyl,
naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,

pyridazinyl, indolyl, isoindolyl, naphthyridinyl,
 quinoxalinyl, tetrahydroquinolinyl, indazolyl,
 benzothienyl, benzofuryl, benzimidazolyl,
 benzoxazolyl, or benzthiazolyl, where R¹ is
 5 unsubstituted or substituted with one or more
 substituents selected
 from chloro, fluoro, amino, hydroxy, cyclohexyl,
 phenylmethyl, morpholinylmethyl,
 methylpiperidinylmethyl, methylpiperazinylmethyl,
 10 ethyl, propyl, trifluoromethyl, phenyloxy,
 methoxy and ethoxy; and
 wherein R² is one or more substituents independently
 selected from H, chloro, fluoro, bromo, amino,
 hydroxy, methyl, ethyl, propyl, trifluoromethyl,
 15 methoxy, ethoxy, trifluoromethoxy, carboxymethyl,
 unsubstituted or substituted phenyl and unsubstituted
 or substituted heteroaryl selected
 from thienyl, furanyl, pyridyl, imidazolyl, and
 pyrazolyl;
 20 and pharmaceutically acceptable salts thereof.

31. Compound of Claim 1 of the formula



25 wherein A⁵ is selected from S, O and NR⁶;
 wherein R^a and R^b are independently selected from H, halo,
 C₁₋₄-alkyl and -N(R⁶)₂;
 wherein n is 1-2;

wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered
nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered
fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents
selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-
haloalkyl and C₁₋₆-alkoxy;

wherein R¹ is selected from unsubstituted or substituted
aryl,
5-6 membered heteroaryl and
9-10 membered fused heteroaryl,

wherein substituted R¹ is substituted with one or more
substituents selected from halo, C₁₋₆-alkyl, optionally
substituted C₃₋₆-cycloalkyl, optionally substituted
phenyl, C₁₋₆-haloalkoxy, optionally substituted
phenyloxy, benzyl, optionally substituted 5-6 membered
heterocyclyl-C₁-C₂-alkylenyl, optionally substituted
heteroaryl, optionally substituted heteroaryloxy, C₁₋₆-
haloalkyl, and C₁₋₆-alkoxy;

wherein R² is one or more substituents independently
selected from

H,
halo,
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,

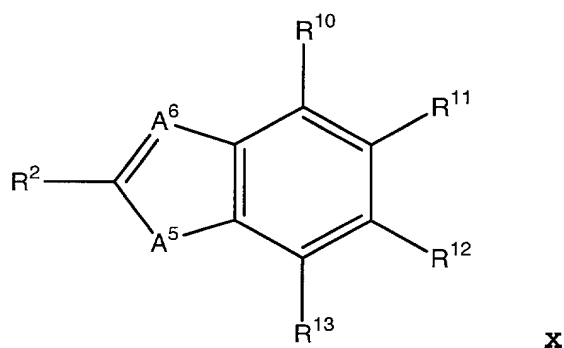
unsubstituted or substituted aryl and
unsubstituted or substituted 5-6 membered
heteroaryl; and

wherein R⁶ is H or C₁₋₆-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

32. Compound of Claim 31 wherein R^a and R^b are H;
wherein n is 1-2;
wherein R is selected from 4-pyridyl, pyrimidinyl,
5 pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,
isoquinolyl, naphthyridinyl and quinoxalinyl, where R
is unsubstituted or substituted with one or more
substituents selected
from chloro, fluoro, amino, hydroxy, methyl, ethyl,
10 propyl, trifluoromethyl, methoxy and ethoxy;
wherein R¹ is selected from phenyl, tetrahydronaphthyl,
naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,
pyridazinyl, indolyl, isoindolyl, naphthyridinyl,
quinoxalinyl, tetrahydroquinolinyl, indazolyl,
15 benzothienyl, benzofuryl, benzimidazolyl,
benzoxazolyl, or benzthiazolyl, where R¹ is
unsubstituted or substituted with one or more
substituents selected
from chloro, fluoro, amino, hydroxy, cyclohexyl,
20 phenylmethyl, morpholinylmethyl,
methylpiperidinylmethyl, methylpiperazinylmethyl,
ethyl, propyl, trifluoromethyl, phenyloxy,
methoxy and ethoxy; and
wherein R² is one or more substituents independently
25 selected from H, chloro, fluoro, bromo, amino,
hydroxy, methyl, ethyl, propyl, trifluoromethyl,
methoxy, ethoxy, trifluoromethoxy, carboxymethyl,
unsubstituted or substituted phenyl and unsubstituted
or substituted heteroaryl selected
30 from thienyl, furanyl, pyridyl, imidazolyl, and
pyrazolyl;
and pharmaceutically acceptable salts thereof.

33. Compound of Claim 1 of the formula



wherein A⁵ is selected from S, O and NR⁶;

5 wherein A⁶ is selected from CR² and N;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered
nitrogen-containing heteroaryl, and

10 b) unsubstituted or substituted 9- or 10-membered
fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents
selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-
6-haloalkyl and C₁₋₆-alkoxy;

15 wherein R¹ is selected from unsubstituted or substituted
aryl,

5-6 membered heteroaryl and

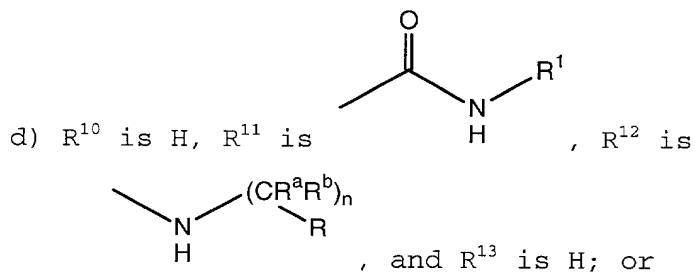
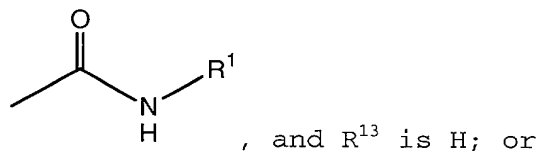
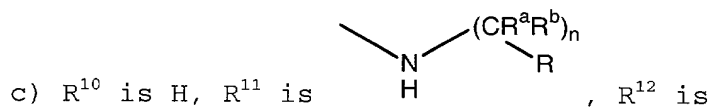
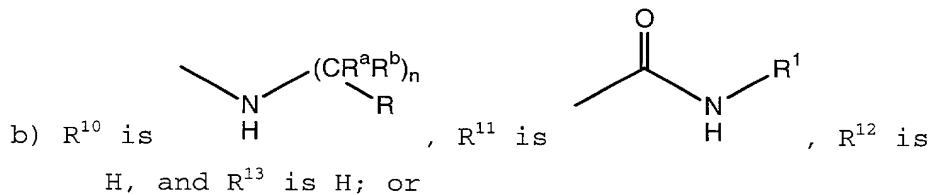
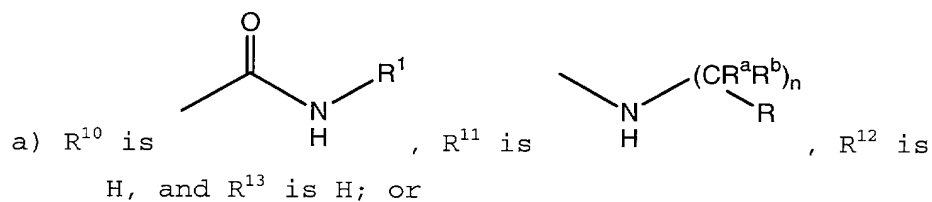
9-10 membered fused heteroaryl,

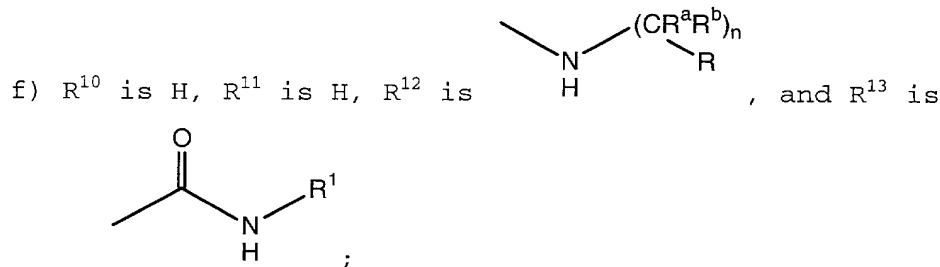
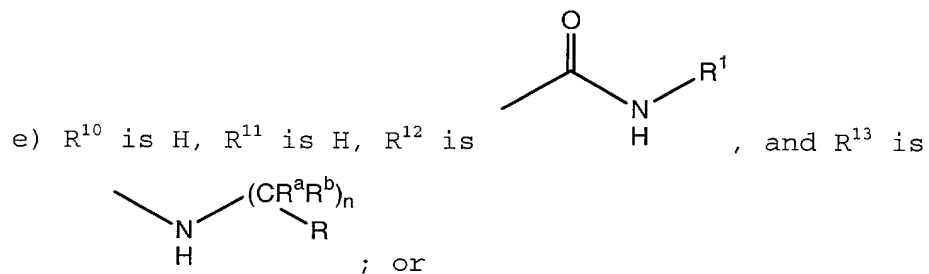
20 wherein substituted R¹ is substituted with one or more
substituents selected from halo, C₁₋₆-alkyl, optionally
substituted C₃₋₆-cycloalkyl, optionally substituted
phenyl, C₁₋₆-haloalkoxy, optionally substituted
phenyloxy, benzyl, optionally substituted 5-6 membered
heterocyclyl-C₁-C₂-alkylenyl, optionally substituted
heteroaryl, optionally substituted heteroaryloxy, C₁₋₆-
25 haloalkyl, and C₁₋₆-alkoxy;

wherein R² is one or more substituents independently
selected from

H,

- halo,
 C₁₋₆-alkyl,
 C₁₋₆-haloalkyl,
 C₁₋₆-alkoxy,
 5 C₁₋₆-haloalkoxy,
 C₁₋₆-carboxyalkyl,
 unsubstituted or substituted aryl and
 unsubstituted or substituted 5-6 membered
 heteroaryl; and
 10 wherein R⁶ is H or C₁₋₆-alkyl;
 wherein





5

wherein R^a and R^b are independently selected from H, halo,
 C_{1-4} -alkyl and $-N(R^6)_2$; and

wherein n is 1-2;

and pharmaceutically acceptable isomers and salts thereof.

10

34. Compound of Claim 33 wherein R^a and R^b are H;
 wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl,
 pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,
 isoquinolyl, naphthyridinyl and quinoxaliny, where R
 is unsubstituted or substituted with one or more
 substituents selected

15

from chloro, fluoro, amino, hydroxy, methyl, ethyl,
 propyl, trifluoromethyl, methoxy and ethoxy;

20 wherein R^1 is selected from phenyl, tetrahydronaphthyl,
 naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,
 pyridazinyl, indolyl, isoindolyl, naphthyridinyl,
 quinoxaliny, tetrahydroquinoliny, indazolyl,
 benzothienyl, benzofuryl, benzimidazolyl,
 25 benzoxazolyl, or benzthiazolyl, where R^1 is

unsubstituted or substituted with one or more
substituents selected

from chloro, fluoro, amino, hydroxy, cyclohexyl,
phenylmethyl, morpholinylmethyl,

5 methylpiperidinylmethyl, methylpiperazinylmethyl,
ethyl, propyl, trifluoromethyl, phenyloxy,
methoxy and ethoxy; and

wherein R^2 is one or more substituents independently

selected from H, chloro, fluoro, bromo, amino,

10 hydroxy, methyl, ethyl, propyl, trifluoromethyl,

methoxy, ethoxy, trifluoromethoxy, carboxymethyl,

unsubstituted or substituted phenyl and unsubstituted
or substituted heteroaryl selected

from thienyl, furanyl, pyridyl, imidazolyl, and

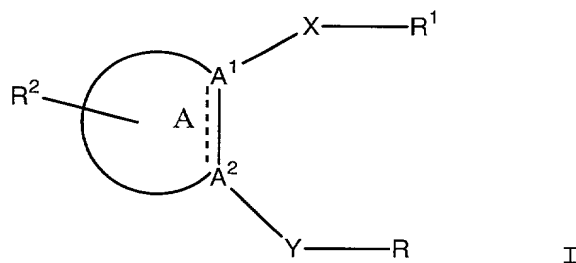
15 pyrazolyl;

and pharmaceutically acceptable salts thereof.

35. A pharmaceutical composition comprising a
pharmaceutically-acceptable carrier and a compound as in any
20 of Claims 1-34.

36. A method of treating cancer in a subject, said
method comprising administering an effective amount of a
compound of formula I

25



wherein each of A^1 and A^2 is independently C or N;

wherein ring A is selected from

wherein R^d is cycloalkyl;

wherein R is selected from

- a) substituted or unsubstituted 5-6 membered heterocyclyl, and
- 5 b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;
- wherein substituted R is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally
- 10 substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R², cyano, nitro, lower alkenyl and lower alkynyl;

wherein R¹ is selected from

- 15 a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 5-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-11 membered fused heterocyclyl,
- 20 d) cycloalkyl, and
- e) cycloalkenyl,

wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH(C₁-C₄ alkylenylR¹⁴), -SO₂R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R², cyano, nitro, lower alkenyl and

25 lower alkynyl;

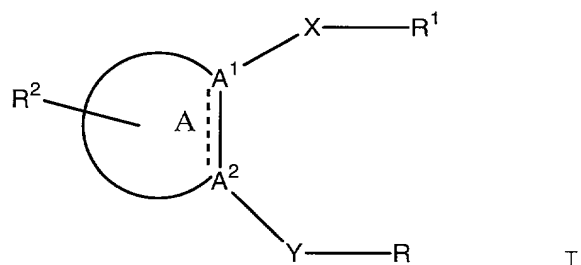
30

wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -COR³, -CONR³R³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted phenylalkenyl, optionally

substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl; wherein R³ is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C₃-C₆ cycloalkyl, and lower haloalkyl; wherein R⁴ is independently selected from C₂-C₄ alkylenyl, C₂-C₄ alkenylenyl and C₂-C₄ alkynylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-; wherein R⁵ is selected from H, lower alkyl, phenyl and lower aralkyl; and wherein R⁶ is selected from H or C₁₋₆-alkyl; wherein R¹⁴ is selected from H, phenyl, 5-6 membered heterocyclyl and C₃-C₆ cycloalkyl; and pharmaceutically acceptable salts thereof; provided A is not naphthyl when X is -C(O)NH- and when R¹ is phenyl when Y is -NCH₂- and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH₂-.

37. The method of Claim 36 comprising a combination with a compound selected from antibiotic-type agents, alkylating agents, antimetabolite agents, hormonal agents, immunological agents, interferon-type agents and miscellaneous agents.

38. A method of treating angiogenesis in a subject, said method comprising administering an effective amount of a compound as in any of Formula I

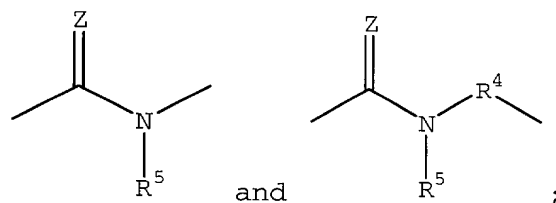


wherein each of A^1 and A^2 is independently C or N;

wherein ring A is selected from

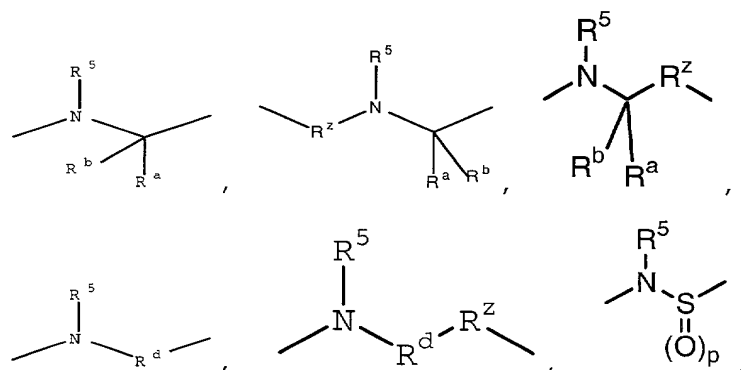
- 5 a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- 10 e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

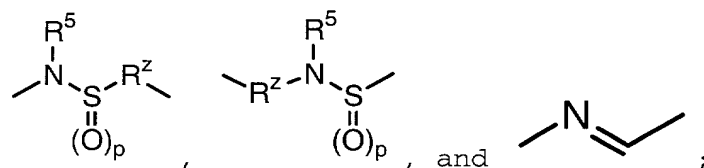
wherein X is selected from



wherein Z is oxygen or sulfur;

- 15 wherein Y is selected from





wherein p is 0 to 2,

wherein R^a and R^b are independently selected from H, halo, cyano, -NHR⁶ and C₁₋₄-alkyl substituted with R², or wherein

5 R^a and R^b together form C₃-C₆ cycloalkyl;

wherein R^z is selected from C₁-C₄ alkylene, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-;

wherein R^d is cycloalkyl;

10 wherein R is selected from

a) substituted or unsubstituted 5-6 membered heterocyclyl, and

b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

15 wherein substituted R is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R², cyano, nitro, lower alkenyl and lower alkynyl;

wherein R¹ is selected from

a) substituted or unsubstituted 6-10 membered aryl,

25 b) substituted or unsubstituted 5-6 membered heterocyclyl,

c) substituted or unsubstituted 9-11 membered fused heterocyclyl,

d) cycloalkyl, and

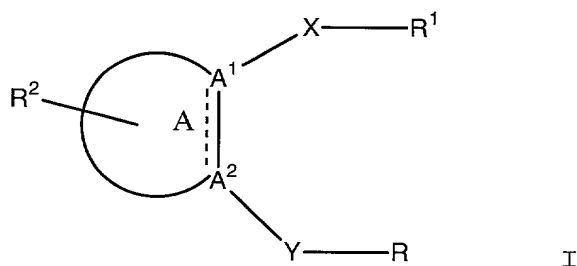
e) cycloalkenyl,

30 wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³,

- SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH(C₁-C₄ alkylenylR¹⁴), -SO₂R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R², cyano, nitro, lower alkenyl and lower alkynyl;
- wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -COR³, -CONR³R³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;
- wherein R³ is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C₃-C₆ cycloalkyl, and lower haloalkyl;
- wherein R⁴ is independently selected from C₂-C₄ alkylenyl, C₂-C₄ alkenylenyl and C₂-C₄ alkynylenyl, where one of the CH₂ groups may be substituted with an oxygen atom or an -NH-;
- wherein R⁵ is selected from H, lower alkyl, phenyl and lower aralkyl; and
- wherein R⁶ is selected from H or C₁₋₆-alkyl;
- wherein R¹⁴ is selected from H, phenyl, 5-6 membered heterocyclyl and C₃-C₆ cycloalkyl;
- and pharmaceutically acceptable salts thereof;
- provided A is not naphthyl when X is -C(O)NH- and when R¹ is phenyl when Y is -NCH₂- and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is -NHCH₂-.

39. A compound as in any of Claims 1-34 for use in a method of therapeutic treatment for the human or animal body.

5 40. A method of treating KDR-related disorders in a mammal, said method comprising administering an effective amount of a compound of Formula I

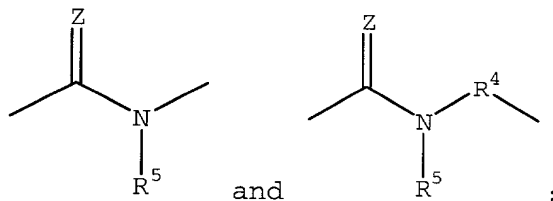


10 wherein each of A¹ and A² is independently C or N;

wherein ring A is selected from

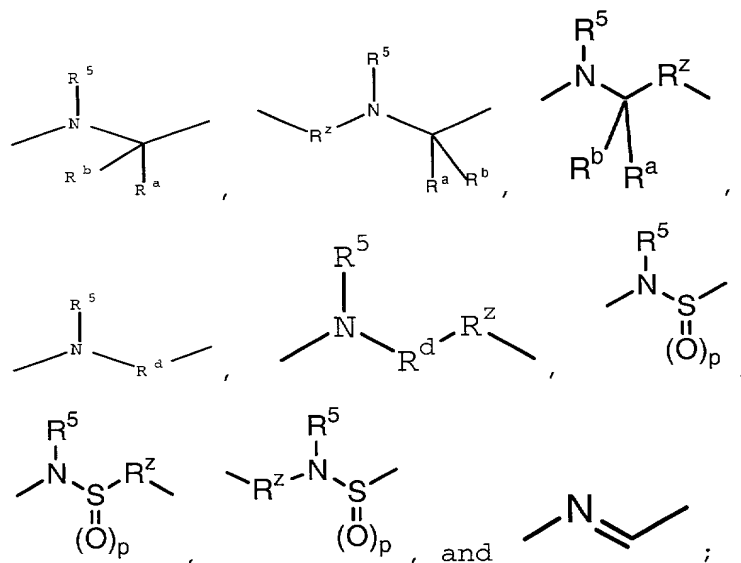
- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- 15 c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

20 wherein X is selected from



wherein Z is oxygen or sulfur;

wherein Y is selected from



wherein p is 0 to 2,

- 5 wherein R^a and R^b are independently selected from H, halo, cyano, -NHR⁶ and C₁₋₄-alkyl substituted with R², or wherein R^a and R^b together form C₃-C₆ cycloalkyl;
- wherein R^z is selected from C₁-C₄ alkylene, where one of the CH₂ groups may be substituted with an oxygen atom or an -
- 10 NH-;
- wherein R^d is cycloalkyl;
- wherein R is selected from
- a) substituted or unsubstituted 5-6 membered heterocyclyl, and
 - 15 b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;
- wherein substituted R is substituted with one or more substituents independently selected from halo, -OR³, -SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³,
- 20 -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R², cyano, nitro, lower alkenyl and lower alkynyl;
- wherein R¹ is selected from
- 25 a) substituted or unsubstituted 6-10 membered aryl,

- b) substituted or unsubstituted 5-6 membered heterocyclyl,
c) substituted or unsubstituted 9-11 membered fused heterocyclyl,
5 d) cycloalkyl, and
e) cycloalkenyl,

wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$
10 alkylenyl $R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2 , cyano, nitro, lower alkenyl and
15 lower alkynyl;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkylenyl, optionally
20 substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

25 wherein R^3 is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C_3-C_6 cycloalkyl, and lower haloalkyl;

wherein R^4 is independently selected from C_2-C_4 alkylenyl, C_2-C_4 alkenylenyl and C_2-C_4 alkynylenyl, where one of the
30 CH_2 groups may be substituted with an oxygen atom or an $-NH-$;

wherein R^5 is selected from H, lower alkyl, phenyl and lower aralkyl; and

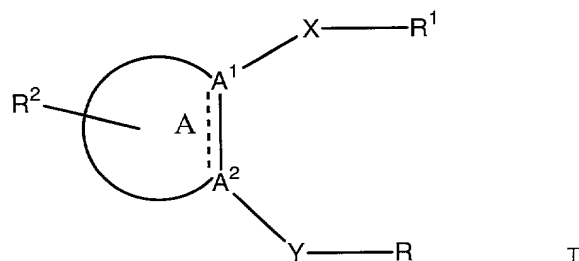
wherein R^6 is selected from H or C_{1-6} -alkyl;

wherein R^{14} is selected from H, phenyl, 5-6 membered heterocyclyl and C_3-C_6 cycloalkyl;

and pharmaceutically acceptable salts thereof;

provided A is not naphthyl when X is $-C(O)NH-$ and when R^1 is phenyl when Y is $-NCH_2-$ and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is $-NHCH_2-$.

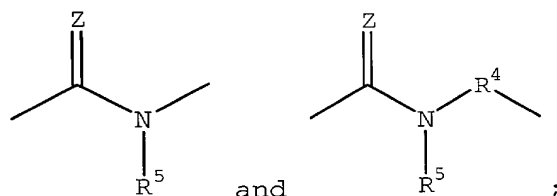
41. A method of treating proliferative disorders in a mammal, said method comprising administering an effective amount of a compound of Formula I



wherein each of A^1 and A^2 is independently C or N; wherein ring A is selected from

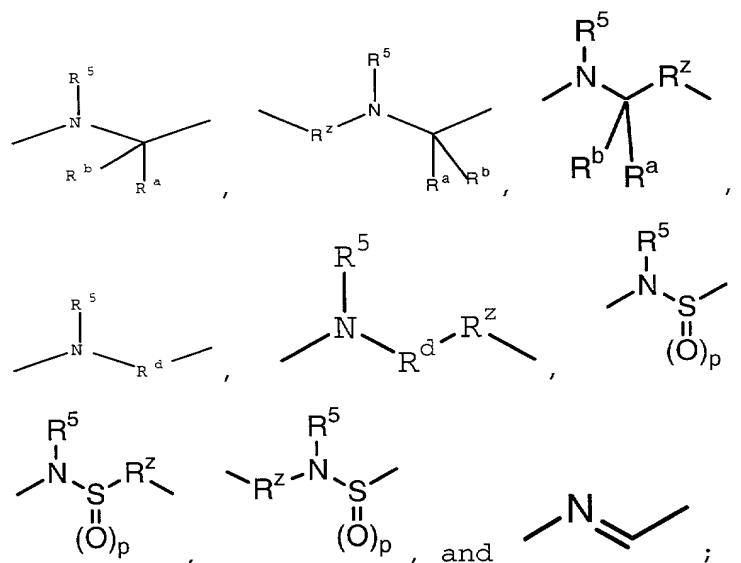
- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9- or 10-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl;
- e) naphthyl, and
- f) 4-, 5- or 6- membered cycloalkenyl;

wherein X is selected from



wherein Z is oxygen or sulfur;

wherein Y is selected from



5 wherein p is 0 to 2,

wherein R^a and R^b are independently selected from H, halo,
cyano, -NHR⁶ and C₁₋₄-alkyl substituted with R², or wherein
R^a and R^b together form C₃-C₆ cycloalkyl;

wherein R^z is selected from C₁-C₄ alkylene, where one of the
10 CH₂ groups may be substituted with an oxygen atom or an -
NH-;

wherein R^d is cycloalkyl;

wherein R is selected from

a) substituted or unsubstituted 5-6 membered
15 heterocycl, and

b) substituted or unsubstituted fused 9-, 10- or 11-
membered heterocycl;

wherein substituted R is substituted with one or more
substituents independently selected from halo, -OR³,
20 -SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³,
-NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally
substituted 5-6 membered heterocycl, optionally
substituted phenyl, lower alkyl substituted with R²,
cyano, nitro, lower alkenyl and lower alkynyl;

25 wherein R¹ is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
b) substituted or unsubstituted 5-6 membered
heterocyclyl,
c) substituted or unsubstituted 9-11 membered fused
5 heterocyclyl,
d) cycloalkyl, and
e) cycloalkenyl,

wherein substituted R^1 is substituted with one or more
substituents independently selected from halo, $-OR^3$,
10 $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$
alkylenyl $R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-$
 $NR^3C(O)R^3$, optionally substituted cycloalkyl,
optionally substituted 5-6 membered heterocyclyl,
optionally substituted phenyl, lower alkyl
15 substituted with R^2 , cyano, nitro, lower alkenyl and
lower alkynyl;

wherein R^2 is one or more substituents independently selected
from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-$
 NR^3R^3 , $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl,
20 optionally substituted phenylalkylenyl, optionally
substituted 5-6 membered heterocyclyl, optionally
substituted heteroarylalkylenyl, optionally substituted
phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower
carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower
25 aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R^3 is selected from H, lower alkyl, phenyl, 5-6
membered heterocyclyl, C_3-C_6 cycloalkyl, and lower
haloalkyl;

wherein R^4 is independently selected from C_2-C_4 alkylenyl,
30 C_2-C_4 alkenylenyl and C_2-C_4 alkynylenyl, where one of the
 CH_2 groups may be substituted with an oxygen atom or an $-$
 $NH-$;

wherein R^5 is selected from H, lower alkyl, phenyl and lower
aralkyl; and

wherein R^6 is selected from H or C_{1-6} -alkyl;

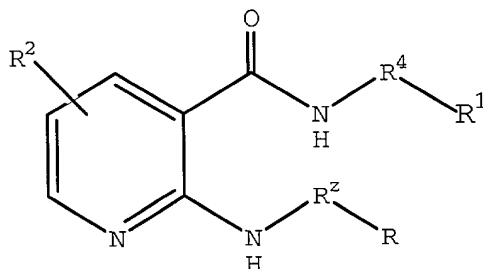
wherein R^{14} is selected from H, phenyl, 5-6 membered heterocyclyl and C_3-C_6 cycloalkyl;

and pharmaceutically acceptable salts thereof;

- 5 provided A is not naphthyl when X is $-C(O)NH-$ and when R^1 is phenyl when Y is $-NCH_2-$ and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is $-NHCH_2-$.

- 10 42. Method of Claim 12 wherein the disorder is inflammation or an inflammation-related disorder.

43. A compound of Claim 1 having Formula II'



II'

15 wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
20 b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, oxo, hydroxy, C_{1-6} -alkyl, C_{1-6} -haloalkyl, C_{1-6} -alkoxy, optionally substituted heterocyclyl- C_{1-6} -alkoxy, optionally substituted heterocyclyl- C_{1-6} -alkylamino, optionally substituted heterocyclyl- C_{1-6} -alkyl, C_{1-6} -alkylamino- C_{2-4} -alkynyl, C_{1-6} -alkylamino- C_{1-6} -alkoxy, C_{1-6} -alkylamino- C_{1-6} -alkoxy-

25

C₁₋₆-alkoxy, and optionally substituted
heterocyclyl-C₂₋₄-alkynyl;

wherein R¹ is selected from unsubstituted or substituted
aryl,

5

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered

tricyclic heterocyclyl,

wherein substituted R¹ is substituted with one or more

10

substituents selected from halo, C₁₋₆-alkyl, optionally

substituted C₃₋₆-cycloalkyl, optionally substituted

phenyl, optionally substituted phenyl-C₁₋₄-alkylenyl,

C₁₋₂-haloalkoxy, optionally substituted 4-6 membered

heterocyclyl-C₁₋₄-alkyl, optionally substituted 4-6

15

membered heterocyclyl-C₂₋₄-alkenyl, optionally

substituted 4-6 membered heterocyclyl, optionally

substituted phenyloxy, optionally substituted 4-6

membered heterocycliloxy, optionally substituted 4-6

membered heterocyclyl-C₁₋₄-alkoxy, optionally

20

substituted 4-6 membered heterocyclylsulfonyl,

optionally substituted 4-6 membered heterocyclylamino,

optionally substituted 4-6 membered

heterocyclylcarbonyl, optionally substituted 5-6

membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-

25

haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy, oxo,

cyano, -NHC(O)NH₂, alkylcarbonylamino, aminosulfonyl,

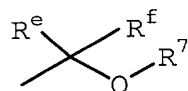
C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-alkylcarbonyl, C₁₋

₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, C₁₋₃-

alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-alkoxycarbonyl,

30

C₁₋₄-alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-hydroxyalkyl,

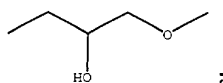


and C₁₋₄-alkoxy;

wherein R² is one or more substituents independently
selected from

H,
halo,
hydroxy,
amino,
5 C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
C₁₋₂-alkylamino,
aminosulfonyl,
10 C₃₋₆-cycloalkyl,
cyano,
C₁₋₂-hydroxyalkyl,
nitro,
C₂₋₃-alkenyl,
15 C₂₋₃-alkynyl,
C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
5-6-membered heterocyclyl-C₁₋₆-alkylamino,
unsubstituted or substituted phenyl and
20 unsubstituted or substituted 5-6 membered
heterocyclyl;

wherein R⁴ is selected from a direct bond, C₁₋₄-alkyl, and



wherein R^z is selected from C₁₋₂-alkyl, C₂₋₆-branched alkyl,
25 C₂₋₄-branched haloalkyl, amino-C₁₋₄-alkyl and C₁₋₂-
alkylamino-C₁₋₂-alkyl;
wherein R^e and R^f are independently selected from H and C₁₋₂-
haloalkyl; and
wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally
30 substituted phenyl, optionally substituted phenyl-C₁₋₃-
alkyl, optionally substituted 4-6 membered
heterocyclyl, optionally substituted 4-6 membered

heterocyclyl-C₁-C₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl; provided R² is not H, or provided R¹ is not heteroaryl or aryl, or provided R is substituted with optionally substituted heterocyclyl-C₁₋₆-alkoxy, optionally substituted heterocyclyl-C₁₋₆-alkylamino, optionally substituted heterocyclyl-C₁₋₆-alkyl, C₁₋₆-alkylamino-C₂₋₄-alkynyl, C₁₋₆-alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkoxy-C₁₋₆-alkoxy, or optionally substituted heterocyclyl-C₂₋₄-alkynyl, or provided R¹ is substituted with optionally substituted phenyloxy, optionally substituted 5-6 membered heterocyclyloxy, optionally substituted 5-6 membered heterocyclylsulfonyl, optionally substituted 5-6 membered heterocyclylamino, optionally substituted 5-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, or C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy; further provided R is not 3-pyridyl when R⁵ is CH₂; and pharmaceutically acceptable isomers and derivatives thereof.

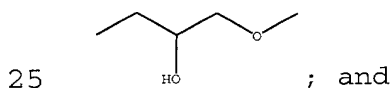
44. Compound of Claim 43 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R¹ is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl,

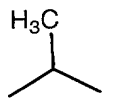
- naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazo-
10 benzodioxanyl, benzothienyl, benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl,

hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R² is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

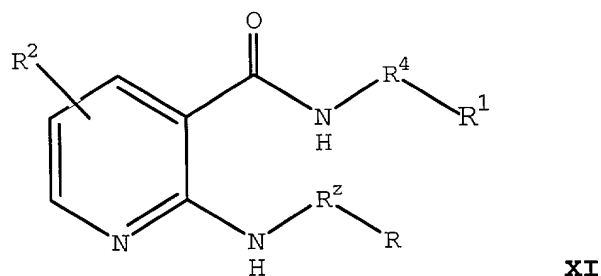
wherein R⁴ is selected from a direct bond, ethyl, butyl, and



wherein R^z is selected from methylenyl, ethylenyl, , and aminoethylenyl; and pharmaceutically acceptable derivatives thereof.

30

45. A compound of Claim 1 having Formula XI



wherein R is selected from

- a) unsubstituted or substituted 5- or 6-membered
nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered
fused heteroaryl,

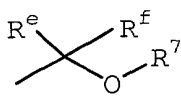
where substituted R is substituted with one or more
substituents selected from halo, amino, hydroxy,
C₁₋₆-alkyl, C₁₋₆-haloalkyl, C₁₋₆-alkoxy, optionally
substituted heterocyclyl-C₁₋₆-alkoxy, optionally
substituted heterocyclyl-C₁₋₆-alkylamino,
optionally substituted heterocyclyl-C₁₋₆-alkyl, C₁₋₆-
alkylamino-C₂₋₄-alkynyl, C₁₋₆-alkylamino-C₁₋₆-
alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkoxy-C₁₋₆-alkoxy, and
optionally substituted heterocyclyl-C₂₋₄-alkynyl;

wherein R¹ is a ring selected from unsubstituted or
substituted

- 4-6 membered saturated or partially un-saturated
monocyclic heterocyclyl,
- 9-10 membered saturated or partially un-saturated
bicyclic heterocyclyl, and
- 13-14 membered saturated or partially un-
saturated tricyclic heterocyclyl,

wherein substituted R¹ is substituted with one or more
substituents selected from halo, C₁₋₆-alkyl, optionally
substituted C₃₋₆-cycloalkyl, optionally substituted
phenyl, optionally substituted phenyl-C₁₋₄-alkylenyl,
C₁₋₂-haloalkoxy, optionally substituted 4-6 membered

heterocyclyl-C₁-C₄-alkyl, optionally substituted 4-6
 membered heterocyclyl-C₂-C₄-alkenyl, optionally
 substituted 4-6 membered heterocyclyl, optionally
 substituted phenyloxy, optionally substituted 4-6
 5 membered heterocycliloxy, optionally substituted 4-6
 membered heterocyclyl-C₁-C₄-alkoxy, optionally
 substituted 4-6 membered heterocyclylsulfonyl,
 optionally substituted 4-6 membered heterocyclylamino,
 optionally substituted 4-6 membered
 10 heterocyclylcarbonyl, optionally substituted 5-6
 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-
 haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy, oxo,
 cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl,
 C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-
 15 alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-
 alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋

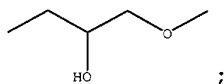
4-alkyl, C₁₋₄-hydroxyalkyl,  and C₁₋₄-alkoxy;

wherein R² is one or more substituents independently
 selected from

20 H,
 halo,
 hydroxy,
 amino,
 C₁₋₆-alkyl,
 25 C₁₋₆-haloalkyl,
 C₁₋₆-alkoxy,
 C₁₋₂-alkylamino,
 aminosulfonyl,
 C₃₋₆-cycloalkyl,
 30 cyano,
 C₁₋₂-hydroxyalkyl,
 nitro,
 C₂₋₃-alkenyl,

- C₂₋₃-alkynyl,
C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
5 5-6-membered heterocyclyl-C₁₋₆-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 5-6 membered
heterocyclyl;

wherein R⁴ is selected from a direct bond, C₁₋₄-alkyl, and



- 10 wherein R² is selected from C₁₋₂-alkyl, C₂₋₆-branched alkyl,
C₂₋₄-branched haloalkyl, amino-C₁₋₄-alkyl and C₁₋₂-
alkylamino-C₁₋₂-alkyl;
wherein R^e and R^f are independently selected from H and C₁₋₂-
haloalkyl; and
15 wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally
substituted phenyl, optionally substituted phenyl-C₁₋₃-
alkyl, optionally substituted 4-6 membered
heterocyclyl, optionally substituted 4-6 membered
heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-
20 alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl;
and pharmaceutically acceptable isomers and derivatives
thereof.

46. A compound of Claim 45 wherein R is selected from
25 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl,
pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl,
isoquinolyl, benzotriazolyl, naphthyridinyl and
quinoxalinyl, where R is unsubstituted or substituted with
one or more substituents selected from chloro, fluoro,
30 amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl,
dimethylaminopropynyl, 1-methylpiperidinylmethoxy,
dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R¹ is
selected from 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-

isoquinolyl, 2,3-dihydro-1H-indolyl, dihydro-benzimidazolyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, and tetrahydroquinolinyl, where R¹ is unsubstituted or

5 substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-

10 methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-

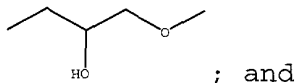
15 ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl,

20 pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-

25 5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl,

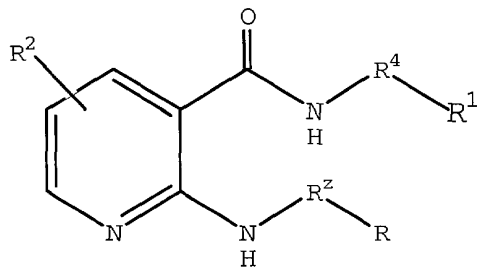
30 trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl,

trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R² is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; wherein R⁴ is selected from a direct bond, ethyl, butyl, and



wherein R² is selected from methylenyl, ethylenyl, , and aminoethylenyl; and pharmaceutically acceptable derivatives thereof.

47. A compound of Claim 1 having Formula XI



XI

wherein R is selected from

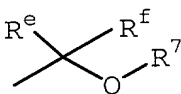
- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and
- b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-haloalkyl, C₁₋₆-alkoxy, optionally substituted heterocyclyl-C₁₋₆-alkoxy, optionally substituted heterocyclyl-C₁₋₆-alkylamino, optionally substituted heterocyclyl-C₁₋₆-alkyl, C₁₋₆-alkylamino-C₂₋₄-alkynyl, C₁₋₆-alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkoxy-C₁₋₆-alkoxy, and optionally substituted heterocyclyl-C₂₋₄-alkynyl;

- 15 wherein R¹ is selected from unsubstituted or substituted aryl, cycloalkyl, 5-6 membered heteroaryl and 9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

- 20 wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-C4}-alkylenyl, 25 C₁₋₂-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C_{1-C4}-alkyl, optionally substituted 4-6 membered heterocyclyl-C_{2-C4}-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 30 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_{1-C4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered

heterocyclylcarbonyl, optionally substituted 5-6
 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-
 haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy,
 cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl,
 5 C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-
 alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-
 alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋

₄-alkyl, C₁₋₄-hydroxyalkyl,  and C₁₋₄-alkoxy;

wherein R² is one or more substituents independently

10 selected from

halo,

hydroxy,

amino,

C₁₋₆-alkyl,

15 C₁₋₆-haloalkyl,

C₁₋₆-alkoxy,

C₁₋₂-alkylamino,

aminosulfonyl,

C₃₋₆-cycloalkyl,

20 cyano,

C₁₋₂-hydroxyalkyl,

nitro,

C₂₋₃-alkenyl,

C₂₋₃-alkynyl,

25 C₁₋₆-haloalkoxy,

C₁₋₆-carboxyalkyl,

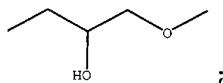
5-6-membered heterocyclyl-C₁₋₆-alkylamino,

unsubstituted or substituted phenyl and

unsubstituted or substituted 5-6 membered

30 heterocyclyl;

wherein R⁴ is selected from a direct bond, C₁₋₄-alkyl, and



wherein R^z is selected from C₁₋₂-alkyl, C₂₋₆-branched alkyl, C₂₋₄-branched haloalkyl, amino-C₁₋₄-alkyl and C₁₋₂-alkylamino-C₁₋₂-alkyl;

wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl; and

wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₃-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl;

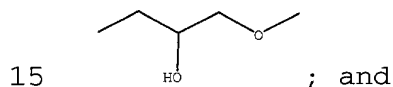
and pharmaceutically acceptable isomers and derivatives thereof.

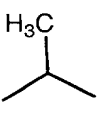
48. A compound of Claim 47 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R¹ is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R¹ is

unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy,

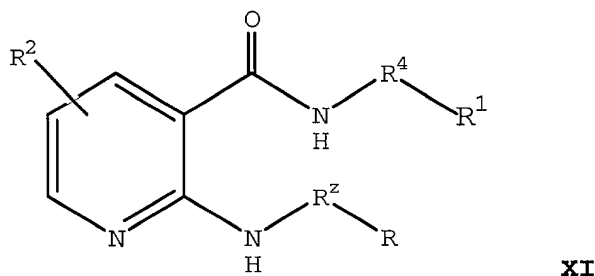
pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and
 5 ethoxy; wherein R^2 is selected from chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino,
 10 propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;
 wherein R^4 is selected from a direct bond, ethyl, butyl, and



wherein R^z is selected from methylenyl, ethylenyl, ,
 and aminoethylenyl;
 and pharmaceutically acceptable derivatives thereof.

20 49. A compound of Claim 1 having Formula XI



wherein R is selected from

25 a) unsubstituted or substituted 5- or 6-membered
 nitrogen-containing heteroaryl, and

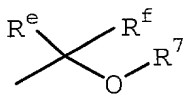
b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-haloalkyl, C₁₋₆-alkoxy, optionally substituted heterocyclyl-C₁₋₆-alkoxy, optionally substituted heterocyclyl-C₁₋₆-alkylamino, optionally substituted heterocyclyl-C₁₋₆-alkyl, C₁₋₆-alkylamino-C₂₋₄-alkynyl, C₁₋₆-alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkoxy-C₁₋₆-alkoxy, and optionally substituted heterocyclyl-C₂₋₄-alkynyl;

wherein R¹ is selected from unsubstituted or substituted aryl, cycloalkyl, 5-6 membered heteroaryl and 9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C₁-C₄-alkylenyl, C₁₋₂-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C₁-C₄-alkyl, optionally substituted 4-6 membered heterocyclyl-C₂-C₄-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocycliloxy, optionally substituted 4-6 membered heterocyclyl-C₁-C₄-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy,

cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl,
 C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-
 alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-
 alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋

5 ₄-alkyl, C₁₋₄-hydroxyalkyl,  and C₁₋₄-alkoxy;

wherein R² is one or more substituents independently
 selected from

H,
 halo,
 10 hydroxy,
 amino,
 C₁₋₆-alkyl,
 C₁₋₆-haloalkyl,
 C₁₋₆-alkoxy,
 15 C₁₋₂-alkylamino,
 aminosulfonyl,
 C₃₋₆-cycloalkyl,
 cyano,
 C₁₋₂-hydroxyalkyl,
 20 nitro,
 C₂₋₃-alkenyl,
 C₂₋₃-alkynyl,
 C₁₋₆-haloalkoxy,
 C₁₋₆-carboxyalkyl,
 25 5-6-membered heterocyclyl-C₁₋₆-alkylamino,
 unsubstituted or substituted phenyl and
 unsubstituted or substituted 5-6 membered
 heterocyclyl;

wherein R⁴ is selected from a direct bond, C₁₋₄-alkyl, and

30  ;

wherein R^z is selected from C₁₋₂-alkyl, C₂₋₆-branched alkyl, C₂₋₄-branched haloalkyl, amino-C₁₋₄-alkyl and C₁₋₂-alkylamino-C₁₋₂-alkyl;

wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl; and

wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₃-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl;

provided R¹ is substituted with optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C₁₋₄-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, or C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy; further provided R is not 3-pyridyl when R⁵ is CH₂;

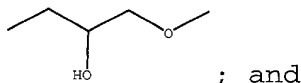
and pharmaceutically acceptable isomers and derivatives thereof.

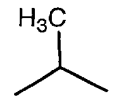
50. A compound of Claim 49 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, naphthyridinyl and quinoxalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R¹ is

selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, 5 isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, 10 benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4- 15 methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4- 20 morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1- 25 Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, 30 methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-

trifluoromethyl-1-piperidiny1, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R² is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

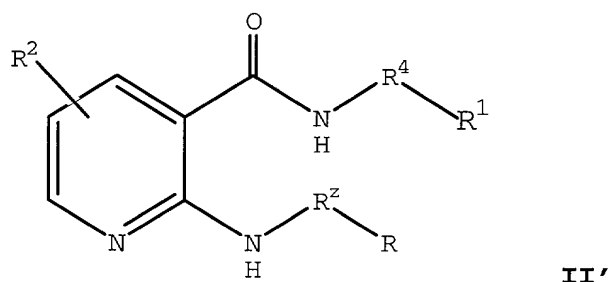
furanyl, pyridyl, imidazolyl, and pyrazolyl; wherein R⁴ is selected from a direct bond, ethyl, butyl, and



wherein R^z is selected from methylenyl, ethylenyl, , and aminoethylenyl; and pharmaceutically acceptable derivatives thereof.

30

51. A compound of Claim 1 having Formula II'



wherein R is selected from

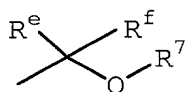
- 5 a) unsubstituted or substituted 5- or 6-membered non-nitrogen-containing heterocyclyl, and
 b) unsubstituted or substituted 9- or 10-membered fused partially unsaturated heterocyclyl,

10 where R is substituted with one or more substituents selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-haloalkyl, C₁₋₆-alkoxy, optionally substituted heterocyclyl-C₁₋₆-alkoxy, optionally substituted heterocyclyl-C₁₋₆-alkylamino, optionally substituted heterocyclyl-C₁₋₆-alkyl, C₁₋₆-alkylamino-C₂₋₄-alkynyl, C₁₋₆-alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkoxy-C₁₋₆-alkoxy, and optionally substituted heterocyclyl-C₂₋₄-alkynyl;

15 wherein R¹ is selected from unsubstituted or substituted aryl,
 20 cycloalkyl,
 5-6 membered heteroaryl and
 9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

25 wherein substituted R¹ is substituted with one or more substituents selected from halo, C₁₋₆-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-C4}-alkylenyl, C₁₋₂-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C_{1-C4}-alkyl, optionally substituted 4-6

membered heterocyclyl-C₂-C₄-alkenyl, optionally
 substituted 4-6 membered heterocyclyl, optionally
 substituted phenyloxy, optionally substituted 4-6
 membered heterocyclxyloxy, optionally substituted 4-6
 5 membered heterocyclyl-C₁-C₄-alkoxy, optionally
 substituted 4-6 membered heterocyclylsulfonyl,
 optionally substituted 4-6 membered heterocyclylamino,
 optionally substituted 4-6 membered
 heterocyclylcarbonyl, optionally substituted 5-6
 10 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-
 haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy, oxo,
 -NHC(O)NH₂, alkylcarbonylamino, cyano, aminosulfonyl,
 C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-alkylcarbonyl, C₁₋₃-
 alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, C₁₋₃-
 15 alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-alkoxycarbonyl,
 C₁₋₄-alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-hydroxyalkyl,



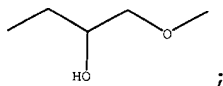
and C₁₋₄-alkoxy;

wherein R² is one or more substituents independently
 selected from

20 H,
 halo,
 hydroxy,
 amino,
 C₁₋₆-alkyl,
 25 C₁₋₆-haloalkyl,
 C₁₋₆-alkoxy,
 C₁₋₂-alkylamino,
 aminosulfonyl,
 C₃₋₆-cycloalkyl,
 30 cyano,
 C₁₋₂-hydroxyalkyl,
 nitro,
 C₂₋₃-alkenyl,

- C₂₋₃-alkynyl,
C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
5-6-membered heterocyclyl-C₁₋₆-alkylamino,
5 unsubstituted or substituted phenyl and
unsubstituted or substituted 5-6 membered
heterocyclyl;

wherein R⁴ is selected from a direct bond, C₁₋₄-alkyl, and



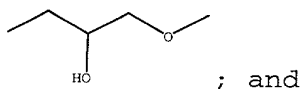
- 10 wherein R² is selected from C₁₋₂-alkyl, C₂₋₆-branched alkyl,
C₂₋₄-branched haloalkyl, amino-C₁₋₄-alkyl and C₁₋₂-
alkylamino-C₁₋₂-alkyl;
wherein R^e and R^f are independently selected from H and C₁₋₂-
haloalkyl; and
15 wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally
substituted phenyl-C₁₋₃-alkyl, optionally substituted
4-6 membered heterocyclyl, optionally substituted 4-6
membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-
alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-
20 C₁₋₃-alkyl;
and pharmaceutically acceptable isomers and derivatives
thereof.

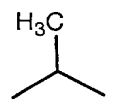
52. A compound of Claim 50 wherein R is selected from
25 2,3-dihydrobenzofuryl, and tetrahydropyran, where R is
unsubstituted or substituted with one or more substituents
selected from chloro, fluoro, amino, hydroxy, methyl, ethyl,
propyl, trifluoromethyl, dimethylaminopropynyl, 1-
methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy
30 and ethoxy; wherein R¹ is selected from phenyl,
tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl,
isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl,
pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl,

1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl,

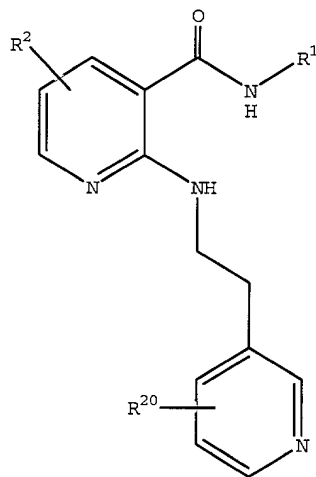
dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, 5 trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-10 pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R² is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, 15 aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from 20 thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl; wherein R⁴ is selected from a direct bond, ethyl, butyl, and



wherein R^z is selected from methylenyl, ethylenyl, , 25 and aminoethylenyl; and pharmaceutically acceptable derivatives thereof.

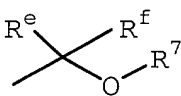
53. A compound of Claim 1 having Formula XII

**XII**

wherein R¹ is selected from unsubstituted or substituted
aryl,
5 cycloalkyl,
 5-6 membered heteroaryl and
 9-10 membered bicyclic and 13-14 membered tricyclic
 heterocyclyl,

wherein substituted R¹ is substituted with one or more
10 substituents selected from halo, C₁₋₆-alkyl, optionally
 substituted C₃₋₆-cycloalkyl, optionally substituted
 phenyl, optionally substituted phenyl-C_{1-C4}-alkylenyl,
 C₁₋₂-haloalkoxy, optionally substituted 4-6 membered
 heterocyclyl-C_{1-C4}-alkyl, optionally substituted 4-6
15 membered heterocyclyl-C_{2-C4}-alkenyl, optionally
 substituted 4-6 membered heterocyclyl, optionally
 substituted phenyloxy, optionally substituted 4-6
 membered heterocycliloxy, optionally substituted 4-6
 membered heterocyclyl-C_{1-C4}-alkoxy, optionally
20 substituted 4-6 membered heterocyclylsulfonyl,
 optionally substituted 4-6 membered heterocyclylamino,
 optionally substituted 4-6 membered
 heterocyclylcarbonyl, optionally substituted 5-6
 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-
25 haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy,

cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋

5 ₄-alkyl, C₁₋₄-hydroxyalkyl,  and C₁₋₄-alkoxy; wherein R² is one or more substituents independently selected from

H,
halo,
10 hydroxy,
amino,
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
15 C₁₋₂-alkylamino,
aminosulfonyl,
C₃₋₆-cycloalkyl,
cyano,
C₁₋₂-hydroxyalkyl,
20 nitro,
C₂₋₃-alkenyl,
C₂₋₃-alkynyl,
C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
25 5-6-membered heterocyclyl-C₁₋₆-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 5-6 membered
heterocyclyl;

wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl;
30

wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₃-alkyl, optionally substituted 4-6 membered heterocyclyl,

optionally substituted 4-6 membered heterocyclyl-C₁-C₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl; and

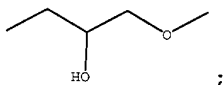
wherein R²⁰ is one or more substituents selected from halo,
5 amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-haloalkyl, C₁₋₆-alkoxy, optionally substituted heterocyclyl-C₁₋₆-alkoxy, optionally substituted heterocyclyl-C₁₋₆-alkylamino, optionally substituted heterocyclyl-C₁₋₆-alkyl, C₁₋₆-alkylamino-C₂₋₄-alkynyl, C₁₋₆-alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkoxy-C₁₋₆-alkoxy, and optionally
10 substituted heterocyclyl-C₂₋₄-alkynyl; and pharmaceutically acceptable isomers and derivatives thereof.

15 54. Compound of Claim 53 wherein R¹ is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl,
20 benzothienyl, benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo,
25 aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-

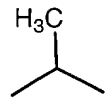
(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R² is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy,

ethoxy, trifluoromethoxy, carboxymethyl,
morpholinylethylamino, propynyl, unsubstituted or
substituted phenyl and unsubstituted or substituted
heteroaryl selected from thienyl,

- 5 furanyl, pyridyl, imidazolyl, and pyrazolyl;
wherein R⁴ is selected from a direct bond, ethyl, butyl, and



wherein R^z is selected from methylenyl, ethylenyl,
and aminoethylenyl; and



- 10 wherein R²⁰ is one or more substituents selected from
chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl,
trifluoromethyl, dimethylaminopropynyl, 1-
methylpiperdinylmethoxy, dimethylaminoethoxyethoxy,
methoxy and ethoxy;
15 and pharmaceutically acceptable derivatives thereof.

55. Compound of Claim 1 and pharmaceutically acceptable
derivatives thereof selected from

- 20 N-[3-(Isopropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-
pyridyl)}carboxamide;
N-(3-Isoquinolyl){2-[(4-pyridylmethyl)amino](3-
pyridyl)}carboxamide;
N-[4-Isopropylphenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-
25 pyridyl)}carboxamide;
N-[4-(tert-Butyl)phenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-
pyridyl)}carboxamide;
N-[4-(Methylpropyl)phenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-
pyridyl)}carboxamide;
30 {2-[(2-(3-Pyridyl)ethyl)amino](3-pyridyl)}-N-[3-
(trifluoromethyl)phenyl]carboxamide;

- {2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-{4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl}carboxamide;
N-[5-(tert-Butyl)isoxazol-3-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
5 N-[5-(tert-Butyl)-1-methylpyrazol-3-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[4-(tert-Butyl)(1,3-thiazol-2-yl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
10 N-[5-(tert-Butyl)(1,3,4-thiadiazol-2-yl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[4-(4-Hydroxybutyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[2-(4-Chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)}carboxamide;
15 5-Bromo-N-[2-(4-chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;
N-[2-(4-Phenoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;
20 N-[2-(4-Methoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;
N-[2-(3,4-Dimethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;
N-[2-(4-Hydroxy-3-ethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;
25 N-[2-(4-Fluorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;
N-[2-(4-(tert-Butyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;
30 N-[2-(3-Fluorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;
N-[2-(3-Chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;

- N*-[2-(3-(Trifluoromethyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*-[2-(3-Ethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 5 *N*-[2-(3,4-Dimethylphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*-[2-(1,3-Benzodioxol-5-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*-[2-(4-Methylphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 10 *N*-[2-(4-Hydroxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*-[2-(3,4-Dimethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 15 *N*-[2-(4-Bromophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*-[2-(3,4-Dichlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*-[2-(4-(Fluorosulfonyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 20 *N*-[2-(3,5-(Dimethoxy)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*-[2-(2,4-Dichlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 25 *N*-[2-(2-Fluorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*-[2-(2-Chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*-[2-(4-(Aminosulphonyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 30 *N*-[2-(2-Thienyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*-[2-(Pyridin-2-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

- N*-[2-(Pyridin-3-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*-[2-(Pyridin-4-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- 5 *N*-(4-Phenylbutyl)-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- N*-(2-Hydroxy-3-phenoxypropyl)-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;
- {6-Chloro-5-fluoro-2-[(4-pyridylmethyl)amino] (3-pyridyl)}-*N*-
- 10 [4-(isopropyl)phenyl]carboxamide;
- {5-Fluoro-2-[(4-pyridylmethyl)amino] (3-pyridyl)}-*N*-[4-(isopropyl)phenyl]carboxamide;
- 2-[(Pyridin-4-ylmethyl)amino]-*N*-[4-*tert*-butyl-3-(1,2,3,6-tetrahydropyridin-4-yl)phenyl] (3-pyridyl)carboxamide;
- 15 *N*-(3,4-Dichlorophenyl){6-[(2-morpholin-4-ylethyl)amino]-2-[(4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*-[4-(Morpholin-4-ylmethyl)phenyl]{2-[(4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*-(4-{2-[(*tert*-Butoxy)carbonylamino]ethyl}phenyl){2-[(4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- 20 *N*-[4-(2-Aminoethyl)phenyl]{2-[(4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*-[4-(*tert*-Butyl)-3-nitrophenyl]{2-[(2-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- 25 *N*-[3-Amino-4-(*tert*-butyl)phenyl]{2-[(2-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*-[4-(Isopropyl)phenyl]{2-[(2-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*-(3-Aminosulfonyl-4-chlorophenyl){2-[(4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- 30 *N*-{3-[(4-Methylpiperazinyl)sulfonyl]phenyl}{2-[(4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;
- N*-[4-(1,1,2,2,2-Pentafluoroethyl)phenyl]{2-[(4-pyridylmethyl)amino] (3-pyridyl)}carboxamide;

- N-[4-(1,1,2,2,3,3,4,4,4-Nonafluorobutyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-[4-(Isopropyl)phenyl]{2-[(2-(1,2,4-triazolyl)ethyl)amino](3-pyridyl)}carboxamide;
- 5 (2-[(2-(2-Pyridylamino)ethyl)amino](3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;
- {2-[(1-(2-Pyridyl)pyrrolidin-3-yl)amino](3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;
- 2-[(Pyridin-4-ylmethyl)-amino]-N-(3-trifluoromethyl-phenyl)-
- 10 nicotinamide
- {2-[(4-Pyridylmethyl)amino](3-pyridyl))-N-(8-quinolyl)carboxamide hydrochloride;
- N-[4-(4-Chlorophenoxy)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- 15 {2-[(4-Pyridylmethyl)amino](3-pyridyl))-N-(2,3,4-trifluorophenyl)carboxamide hydrochloride;
- N-(2-Naphthyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- N-(2-Phenoxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- 20 {2-[(4-Pyridylmethyl)amino](3-pyridyl))-N-(5,6,7,8-tetrahydronaphthyl)carboxamide hydrochloride;
- N-(2H-Benzo[3,4-d]1,3-dioxolen-5-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide
- 25 hydrochloride;
- N-Naphthyl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- N-[3-Benzylphenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- 30 N-(Cyclohexylethyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
- N-(Cyclohexylethyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-Indan-2-yl {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide hydrochloride;
N-[4-(tert-Butyl)phenyl] {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;
5 N-[4-(Methylpropyl)phenyl] {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;
Methylphenyl {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;
{2-[(4-Pyridylmethyl) amino] (3-pyridyl)}-N-[4-trifluoromethoxy)phenyl]carboxamide;
10 N-(4-Ethylphenyl) {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;
N-(4-Butylphenyl) {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;
15 N-(4-Iodophenyl) {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;
N-[3-(Hydroxyethyl)phenyl] {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;
N-(3-Ethylphenyl) {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;
20 Ethyl 2-methyl-5-[3-({2-[(4-pyridylmethyl) amino] (3-pyridyl)}carbonylamino)phenyl]furan-3-carboxylate;
N-(3-Phenylphenyl) {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;
25 N-[4-Benzylphenyl] {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;
N-(6-Ethyl (2-pyridyl)) {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;
N-(6-Propyl (2-pyridyl)) {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;
30 N-[4-(tert-Butyl) (2-pyridyl)] {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;
N-(3-Hydroxyphenyl) {2-[(4-pyridylmethyl) amino] (3-pyridyl)}carboxamide;

- N-[4-(Methylethyl)(2-pyridyl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-[3,5-bis(Trifluoromethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide
5 hydrochloride;
- N-[4-Chloro-3-(trifluoromethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide
hydrochloride;
- N-(3-Chlorophenyl){2-[(2-(4-pyridyl)ethyl)amino](3-pyridyl)}carboxamide hydrochloride;
10
- N-(4-Phenoxyphenyl){2-[(2-(2-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;
- 2-[(Benzo[b]thiophen-3-ylmethyl)amino](3-pyridyl)}-N-(4-phenoxyphenyl)carboxamide;
- 15 N-(4-Phenoxyphenyl){2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;
- N-[4-(Methylsulfonyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-(1-Acetylinolin-6-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
20
- N-Indolin-6-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-Indol-6-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 25 N-Indol-5-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-Indol-7-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-[3-(tert-Butyl)pyrazol-5-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
30
- N-(3-Phenylpyrazol-5-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-{2-[2-(dimethylamino)ethoxy]-5-(tert-butyl)phenyl}{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

- N-[4-(tert-Butyl)-3-(4-methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[3-(4-Methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
5 N-[4-(4-Methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}formamide;
N-[1-(1-Methyl-(4-piperidyl))indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[1-(1-Methyl-(4-piperidyl))indolin-6-yl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;
10 N-[1-(2-Piperidylethyl)indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[1-(2-Piperidylacetyl)indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
15 N-[3,3-Dimethyl-1-(1-methyl(4-piperidyl))indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-(3,3-Dimethylindolin-6-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[3-(1-Methyl-(4-piperidyl))indol-5-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
20 N-[4-(1,1-Dimethyl-3-morpholin-4-ylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[4-(tert-Butyl)phenyl]{2-[(2-[(1-methyl(4-piperidyl))-methoxy](4-pyridyl)methyl)amino](3-pyridyl)}carboxamide;
25 N-(4-Bromo-2-fluorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[4-(tert-Butyl)phenyl]{2-[(2-chloro(4-pyridyl)methyl)amino](3-pyridyl)}carboxamide;
{2-[(2-[3-(Dimethylamino)prop-1-ynyl](4-pyridyl)methyl)amino](3-pyridyl)}-N-[4-(tert-butyl)phenyl]carboxamide;
30 {2-[(2-Methoxy(4-pyridyl)methyl)amino](3-pyridyl)}-N-[4-(methylethyl)phenyl]carboxamide;

- N-{3-[3-(Dimethylamino)propyl]-5-(trifluoromethyl)phenyl}-
{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[4-(tert-Butyl)-3-(3-piperidylpropyl)phenyl]{2-[(4-
pyridylmethyl)amino](3-pyridyl)}carboxamide;
5 N-[4-(tert-Butyl)-3-(3-pyrrolidinylpropyl)phenyl]{2-[(4-
pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[3-((1E)-4-Pyrrolidinylbut-1-enyl)-4-(tert-
butyl)phenyl]{2-[(4-pyridylmethyl)amino](3-
pyridyl)}carboxamide;
10 N-[4-(tert-Butyl)-3-(3-morpholin-4-ylpropyl)phenyl]{2-[(4-
pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[1-(2-Morpholin-4-ylethyl)indol-6-yl]{2-[(4-
pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-[4-(tert-Butyl)phenyl]{2-[(pyrimidin-4-ylmethyl)amino](3-
pyridyl)}carboxamide;
15 N-(4-Chlorophenyl){2-[(pyrimidin-4-ylmethyl)amino](3-
pyridyl)}carboxamide;
{2-[(Pyrimidin-4-ylmethyl)amino](3-pyridyl)}-N-[3-
(trifluoromethyl)phenyl]carboxamide;
20 N-[4-(Isopropyl)phenyl]{4-[(4-pyridylmethyl)amino]pyrimidin-
5-yl}carboxamide;
(2-{[(2-{2-[2-(Dimethylamino)ethoxy]ethoxy}(4-
pyridyl)methyl)amino](3-pyridyl)}-N-[4-(tert-
butyl)phenyl]carboxamide;
25 {2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-{4-[2,2,2-
trifluoro-1-(2-piperidylethoxy)-1-
(trifluoromethyl)ethyl]phenyl}carboxamide;
(2-{[(2-{2-[2-(Dimethylamino)ethoxy]ethoxy}(4-
pyridyl)methyl)amino]-6-fluoro(3-pyridyl)}-N-[3-
30 (trifluoromethyl)phenyl]carboxamide;
N-[4-(tert-Butyl)phenyl]{6-fluoro-2-[(4-
pyridylmethyl)amino](3-pyridyl)}carboxamide;
{6-Fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-N-[4-
(isopropyl)phenyl]carboxamide;

- {6-Fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide;
N-(1-Bromo(3-isoquinolyl)){6-fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-carboxamide;
5 N-(4-Phenoxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
N-(4-Phenylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
N-(3-Phenoxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
10 N-(4-Cyclohexylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
N-(4-Imidazol-1-ylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
15 N-(4-Morpholin-4-ylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
N-(4-Cyanonaphthyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;
{2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-[4-(trifluoromethyl)phenyl]carboxamide hydrochloride;
20 Methyl-4-({2-[(4-pyridylmethyl)amino]-3-pyridyl}carbonylamino)benzoate hydrochloride;
N-[4-(Isopropyl)phenyl]{2-[(4-quinolylmethyl)amino](3-pyridyl)}carboxamide;
25 N-[4-(tert-Butyl)phenyl]{2-[(6-quinolylmethyl)amino](3-pyridyl)}carboxamide;
{2-[(6-quinolylmethyl)amino](3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide;
N-(4-chlorophenyl)-3-[(4-pyridinylmethylene)amino]-4-pyridinecarboxamide;
30 N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;
N-phenyl{3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;

- N-(4-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-(3,4-dichlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}-carboxamide;
- 5 N-(3-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-pyridyl)}carboxamide;
- N-(4-chlorophenyl){3-[(6-quinolylmethyl)amino](2-pyridyl)}carboxamide;
- 10 N-(3,4-dichlorophenyl){2-[(6-quinolylmethyl)amino](3-pyridyl)}-carboxamide;
- N-(4-chlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 15 N-(3,4-dichlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-(3-fluoro-4-methylphenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-(3,4-dichlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 20 N-(4-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- {6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-N-(3-fluorophenyl)carboxamide;
- 25 N-(3-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](4-pyridyl)}carboxamide;
- N-(3-fluoro-4-methylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
- 30 N-(4-chlorophenyl){2-[(4-quinolylmethyl)amino](3-pyridyl)}carboxamide;
- N-(4-chlorophenyl){2-[(5-quinolylmethyl)amino](3-pyridyl)}carboxamide;

- N-(4-chlorophenyl){2-[(4-pyridylethyl)amino]-5-(3-thienyl)-(3-pyridyl)}carboxamide;
- N-(4-chlorophenyl){5-(4-methoxyphenyl)-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide;
- 5 N-(4-chlorophenyl){5-bromo-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide;
- 2-[[2-(1-Isopropyl-azetidin-3-ylmethoxy)-pyridin-4-ylmethyl]-amino]-N-(4-trifluoromethyl-phenyl)-nicotinamide;
- 10 N-(4-tert-Butyl-phenyl)-2-[[2-(1-isopropyl-azetidin-3-ylmethoxy)-pyridin-4-ylmethyl]-amino]-nicotinamide;
- 2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-{4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-nicotinamide;
- 15 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2,3-dihydro-benzofuran-5-ylmethyl)-amino]-nicotinamide;
- 2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-[3,3-dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-nicotinamide;
- 20 2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-[3,3-dimethyl-1-(1-methylpiperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-nicotinamide;
- N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-([2-([2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-nicotinamide;
- 25 2-([2-([2-(1-Methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-N-(3-trifluoromethyl-phenyl)-nicotinamide;
- N-(4-tert-Butyl-phenyl)-2-([2-ethylpyridin-4-ylmethyl]-amino)-nicotinamide;
- 30 N-(4-tert-Butyl-phenyl)-2-([2-([2-(1-methyl-pyrrolidin-2-yl)-ethoxy]-pyridin-4-ylmethyl)-amino]-nicotinamide;

- 2-({2-[2-(1-Methyl-pyrrolidin-2-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
- N-(4-Pentafluoroethyl-phenyl)-2-{{2-(2-pyrrolidin-1-yl-ethoxy)-pyridin-4-ylmethyl}-amino}-nicotinamide;
- 5 N-(4-tert-Butyl-phenyl)-2-{{2-(2-pyrrolidin-1-yl-ethoxy)-pyridin-4-ylmethyl}-amino}-nicotinamide;
- N-[3-(4-Boc-piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 10 N-[3-(4-Boc-piperazine-1-carbonyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[3-(4-Boc-piperazine-1-carbonyl)-5-trifluoromethyl-phenyl]-2-(2-pyridin-4-yl-ethylamino)-nicotinamide;
- N-[3-(4-Methyl-piperazin-1-ylmethyl)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 15 N-[3-(4-Boc-piperazin-1-ylmethyl)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 2-{{2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl}-amino}-N-(4-trifluoromethyl-phenyl)-nicotinamide;
- 20 N-(4-tert-Butyl-phenyl)-2-{{2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl}-amino}-nicotinamide;
- 2-({2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
- 25 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-yl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- 30 N-(1-Boc-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[3,3-Dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

- N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-yl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- 5 N-[1-(2-Dimethylamino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[1-(2-Dimethylamino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 10 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
- N-[3,3-Dimethyl-1-(1-Boc-pyrrolidin-2-ylmethoxy)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- 15 N-[3,3-Dimethyl-1-(2-Boc-amino-acetyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[3,3-Dimethyl-1-(2-Boc-amino-acetyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 20 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-methyl-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
- 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;
- 25 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(4-Boc-piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;
- 30 2-{[2-(3-Morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino}-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
- (S) 2-{[2-(1-Methyl-pyrrolidin-2-ylmethoxy)-pyridin-4-ylmethyl]-amino}-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

- N-(3-tert-Butyl-isoxazol-5-yl)-2-([2-(3-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino)-nicotinamide;
N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-([2-(3-morpholin-4-yl-propylamino)-pyridin-4-ylmethyl]-amino)-nicotinamide;
5 N-(4-tert-Butyl-phenyl)-2-([2-(3-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino)-nicotinamide;
N-(4-tert-Butyl-phenyl)-2-([2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino)-nicotinamide;
10 2-([2-(2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino)-N-(4-trifluoromethyl-phenyl)-nicotinamide;
2-([2-(2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino)-N-(3-trifluoromethyl-phenyl)-nicotinamide;
2-([2-(2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino)-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
15 N-(3-tert-Butyl-isoxazol-5-yl)-2-([2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino)-nicotinamide;
N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-([2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino)-nicotinamide;
20 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-([2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino)-nicotinamide;
2-([2-(1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino)-N-(4-trifluoromethyl-phenyl)-nicotinamide;
25 2-([2-(1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino)-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
2-([2-(1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino)-N-(4-tert-butyl-phenyl)-nicotinamide;
30 (R) N-(4-tert-Butyl-phenyl)-2-([2-(1-methyl-pyrrolidin-2-ylmethoxy)-pyridin-4-ylmethyl]-amino)-nicotinamide;
(R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

- (R) N-[3-(1-Methyl-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 5 N-[3-(1-Methyl-piperidin-4-yloxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[3-(1-Methyl-piperidin-4-ylmethyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 10 N-[3-tert-Butyl-4-(1-Boc-pyrrolidin-2-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-(3,3-Dimethyl-2,3-dihydro-benzofuran-6-yl)-2-{{2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl}-amino}-nicotinamide;
- 15 2-{{2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(4-trifluoromethyl-phenyl)-nicotinamide;
- 2-{{2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(3-trifluoromethyl-phenyl)-nicotinamide;
- 20 2-{{2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(4-tert-butyl-phenyl)-nicotinamide;
- 2-{{2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(3-tert-butyl-isoxazol-5-yl)-nicotinamide;
- 25 N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{{2-[3-(1-methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino}-nicotinamide;
- 2-[(Pyridin-4-ylmethyl)-amino]-N-(3,9,9-trimethyl-2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluoren-6-yl)-nicotinamide;
- 30 N-[3,3-Dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

- N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-ylmethoxy)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethoxy)-amino]-nicotinamide;
- 2-[[2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethoxy]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
- 5 N-(3-tert-Butyl-isoxazol-5-yl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethoxy]-amino]-nicotinamide;
- N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethoxy]-amino]-nicotinamide;
- 10 N-(4-tert-Butyl-phenyl)-2-[[2-(3-morpholin-4-yl-propylamino)-pyrimidin-4-ylmethoxy]-amino]-nicotinamide;
- 2-[[2-(3-Morpholin-4-yl-propylamino)-pyrimidin-4-ylmethoxy]-amino]-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
- 15 2-[[2-(3-Morpholin-4-yl-propylamino)-pyrimidin-4-ylmethoxy]-amino]-N-(3-trifluoromethyl-phenyl)-nicotinamide;
- N-(4-tert-Butyl-phenyl)-2-((2-[2-(1-methyl-pyrrolidin-2-yl)-ethylamino]-pyrimidin-4-ylmethoxy)-amino)-nicotinamide;
- 20 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-((2-[2-(1-methyl-pyrrolidin-2-yl)-ethylamino]-pyrimidin-4-ylmethoxy)-amino)-nicotinamide;
- 2-[[2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethoxy]-amino]-N-[3-(1-methyl-piperidin-4-yl)-5-trifluoromethyl-phenyl]-nicotinamide;
- 25 N-(3-tert-Butyl-isoxazol-5-yl)-2-[[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethoxy]-amino]-nicotinamide;
- N-[3-(1-Boc-azetidin-3-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethoxy)-amino]-nicotinamide;
- 30 2-[(2-Methoxy-pyridin-4-ylmethoxy)-amino]-N-[3-(1-Boc-azetidin-3-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
- 2-[(Pyridin-4-ylmethoxy)-amino]-N-(2,2,4-trimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-nicotinamide;

- (R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- (R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 5 N-(4-tert-Butyl-phenyl)-2-{[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-nicotinamide; N-(3-Trifluoromethyl-phenyl)-2-{[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;
- 10 Cu) N-(3-tert-Butyl-isoxazol-5-yl)-2-{[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-nicotinamide was prepared with pyridine and TEA at 90C.
- N-[3-(3-Piperidin-1-yl-propyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide ;
- 15 N-[3-(3-Morpholin-4-yl-propyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 2-[2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-yloxy)-5-trifluoromethyl-phenyl]-nicotinamide;
- 20 N-{4-tert-Butyl-3-[2-(1-Boc-piperidin-4-yl)-ethyl]-phenyl}-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide ;
- N-[4-tert-Butyl-3-(1-methyl-azetidin-3-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-(3,3-Dimethyl-1,1-dioxo-2,3-dihydro-1H-1λ-benzo[d]isothiazol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 25 N-[1,1,4,4-Tetramethyl-1,2,3,4-tetrahydro-naphth-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-{4-[1-Methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 30 2-[2-Methoxy-pyridin-4-ylmethyl)-amino]-N-{4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-nicotinamide;
- N-(3,3-Dimethyl-2,3-dihydro-benzofuran-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

- N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-({2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;
- 5 N-(2,2-Dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 10 N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;
- N-(3,3-Dimethyl-1-piperidin-4-yl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;
- 15 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-({2-[2-(1-methyl-pyrrolidin-2-yl)-ethylamino]-pyrimidin-4-ylmethyl}-amino)-nicotinamide;
- N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- N-[3,3-Dimethyl-1-(piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- 20 N-(3,3-Dimethyl-1-piperidin-4-yl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
- 25 N-[3,3-Dimethyl-1-(pyrrolidin-2-ylmethoxy)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;
- 2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;
- 30 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{[2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{{2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl}-amino}-nicotinamide;

5 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{{2-(2-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl}-amino}-nicotinamide;

N-(4-Pentafluoroethyl-phenyl)-2-[(pyrimidin-4-ylmethyl)-amino]-nicotinamide;

10 2-{{2-(Azetidin-3-yloxy)-pyridin-4-ylmethyl}-amino}-N-(4-tert-butyl-phenyl)nicotinamide;

N-(2,3,3-Trimethyl-1,1-dioxo-2,3-dihydro-1H-1λ-benzo[d]isothiazol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;

15 N-[3,3-Dimethyl-1,1-dioxo-2-(2-piperidin-1-yl-ethyl)-2,3-dihydro-1H-1λ'-benzo[d]isothiazol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide; and

N-[2-(2-Dimethylamino-ethyl)-3,3-dimethyl-1,1-dioxo-2,3-dihydro-1H-1λ'-benzo[d]isothiazol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide.

20

56. Compound of Claim 1 wherein ring A is selected from dihydropyran, dihydrothienyl, dihydrofuryl, oxo-dihydrofuryl, pyrrolinyl, dihydrothiazolyl, dihydro-oxazolyl, dihydro-isothiazolyl, dihydro-isoxazolyl, 25 imidazolinyl, pyrazolinyl, triazinyl, thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyrazolyl, isoxazolyl, triazolyl and isothiazolyl.

30 57. Compound of Claim 1 wherein R is selected from substituted or unsubstituted, saturated or partially saturated 5-6 membered heterocyclyl, and substituted or unsubstituted saturated or partially saturated fused 9-, 10- or 11-membered heterocyclyl.

35 58. Compound of Claim 1 wherein R¹ is selected from

- a) substituted or unsubstituted saturated or partially saturated 5-6 membered heterocyclyl, and
- b) substituted or unsubstituted saturated or partially saturated 9-11 membered fused heterocyclyl.

59. Compound of Claim 58 wherein A is pyridyl.

60. Compound of Claim 1 wherein R^1 is selected from non-nitrogen-containing heteroaryl.

61. Compound of Claim 60 wherein R^1 is selected from pyranlyl, furyl, thienyl, benzofuryl, and benzothienyl.

62. Compound of Claim 1 wherein R^1 is substituted with a substituent selected from $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CONHR^3$, $-COR^3$, $-NHR^3$, $-SO_2NHR^3$, $-NHC(O)OR^3$, $-NHC(O)R^3$ and optionally substituted 5-6 membered heterocyclyl- C_1C_2 -alkylenyl; and wherein R^3 is selected from 5-6 membered heterocyclyl.